10591921

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 NEWS  1          Web Page for STN Seminar Schedule - N. America
 NEWS  2  DEC 01  ChemPort single article sales feature unavailable
 NEWS  3  JUN 01  CAS REGISTRY Source of Registration (SR) searching
                  enhanced on STN
 NEWS  4  JUN 26  NUTRACEUT and PHARMAML no longer updated
 NEWS  5  JUN 29  IMSCOPROFILE now reloaded monthly
 NEWS  6  JUN 29  EPFULL adds Simultaneous Left and Right Truncation
                  (SLART) to AB, MCLM, and TI fields
 NEWS  7  JUL 09  PATDPAFULL adds Simultaneous Left and Right
                  Truncation (SLART) to AB, CLM, MCLM, and TI fields
 NEWS  8  JUL 14  USGENE enhances coverage of patent sequence location
                  (PSL) data
 NEWS  9  JUL 27  CA/CAplus enhanced with new citing references
 NEWS 10  JUL 16  GBFULL adds patent backfile data to 1855
 NEWS 11  JUL 21  USGENE adds bibliographic and sequence information
 NEWS 12  JUL 28  EPFULL adds first-page images and applicant-cited
                  references
 NEWS 13  JUL 28  INPADOCDB and INPAFAMDB add Russian legal status data

 NEWS EXPRESS MAY 26 09 CURRENT WINDOWS VERSION IS V8.4,
              AND CURRENT DISCOVER FILE IS DATED 06 APRIL 2009.

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FILE 'HOME' ENTERED AT 15:27:20 ON 07 AUG 2009

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=> FILE REGISTRY

| COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION |
|---|---|---|
| FULL ESTIMATED COST | 0.22 | 0.22 |

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STRUCTURE FILE UPDATES: 6 AUG 2009 HIGHEST RN 1173240-01-1
DICTIONARY FILE UPDATES: 6 AUG 2009 HIGHEST RN 1173240-01-1

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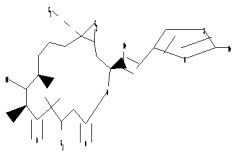
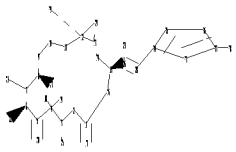




chain nodes :
17 18 19 20 21 22 23 25 26 27 28 38 39
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 29 33 34 35 36 37
chain bonds :
1-17 3-25 4-18 4-19 5-20 6-22 7-21 8-23 12-39 15-26 26-27 26-28 28-34
37-38
ring bonds :
1-2 1-16 2-3 3-4 4-5 5-6 6-7 7-8 8-9 9-10 10-11 11-12 12-13 12-29
13-14 13-29 14-15 15-16 33-34 33-37 34-35 35-36 36-37
exact/norm bonds :
1-2 1-16 1-17 2-3 3-4 3-25 4-5 4-18 4-19 5-6 5-20 6-7 6-22 7-8 7-21
8-9 8-23 9-10 10-11 11-12 12-13 12-29 12-39 13-14 13-29 14-15 15-16
15-26 26-27 26-28 28-34 33-34 33-37 34-35 35-36 36-37 37-38
isolated ring systems :
containing 1 : 33 :

G1:H,OH

G2:C,O

G3:H,Ak,CH3,Et,n-Pr

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:CLASS 18:CLASS 19:CLASS
20:CLASS 21:CLASS 22:CLASS 23:CLASS 25:CLASS 26:CLASS 27:CLASS 28:CLASS
29:Atom 33:Atom 34:Atom 35:Atom 36:Atom 37:Atom 38:CLASS 39:CLASS

Stereo Bonds:

22-6 (Single Hash).
23-8 (Single Hash).
26-15 (Single Wedge).

Stereo Chiral Centers:

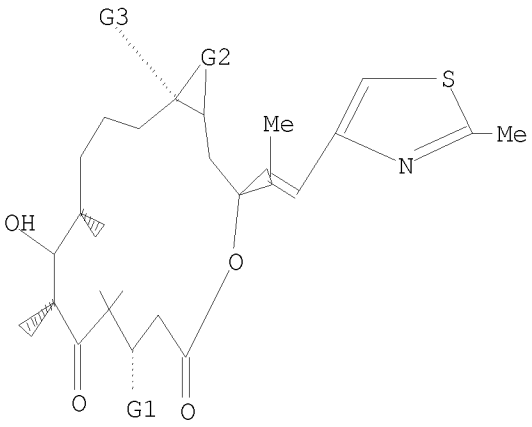
6 (Parity=Even)
8 (Parity=Odd)
15 (Parity=Odd)

Stereo RSS Sets:

Type=Relative (Default). 3 Nodes= 6 8 15

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR




G1 H,OH

G2 C,O

G3 H,Ak,Me,Et,n-Pr

Structure attributes must be viewed using STN Express query preparation.

=> s l1
SAMPLE SEARCH INITIATED 15:28:10 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 43 TO ITERATE

100.0% PROCESSED 43 ITERATIONS 12 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**

PROJECTED ITERATIONS: 467 TO 1253
PROJECTED ANSWERS: 33 TO 447

L2 12 SEA SSS SAM L1

=> s l1 sss full
FULL SEARCH INITIATED 15:28:18 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 749 TO ITERATE

100.0% PROCESSED 749 ITERATIONS 178 ANSWERS
SEARCH TIME: 00.00.01

L3 178 SEA SSS FUL L1

=> FIL HCAPLUS
COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION
FULL ESTIMATED COST 185.88 186.10

FILE 'HCAPLUS' ENTERED AT 15:28:24 ON 07 AUG 2009
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FILE COVERS 1907 - 7 Aug 2009 VOL 151 ISS 7
FILE LAST UPDATED: 6 Aug 2009 (20090806/ED)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Jun 2009
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Jun 2009

HCAplus now includes complete International Patent Classification (IPC) reclassification data for the second quarter of 2009.

CAS Information Use Policies apply and are available at:

http://www.cas.org/legal/infopolicy.html

This file contains CAS Registry Numbers for easy and accurate substance identification.

The ALL, BIB, MAX, and STD display formats in the CA/CAplus family of databases have been updated to include new citing references information. This enhancement may impact record import into database management software. For additional information, refer to NEWS 22.

=> s l3

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L4         789 L3

=> s l4 and p/dt
       6789111 P/DT
L5         383 L4 AND P/DT

=> s l5 and us/pc
       1963723 US/PC
L6         229 L5 AND US/PC

=> s l6 and py<=2004
      25141264 PY<=2004
L7         154 L6 AND PY<=2004

=> s l7 and epothilone
           1213 EPOTHILONE
            975 EPOTHILONES
           1558 EPOTHILONE
                  (EPOTHILONE OR EPOTHILONES)
L8         151 L7 AND EPOTHILONE

=> d l8 ibib abs hitstr 1-10

L8   ANSWER 1 OF 151  HCAPLUS  COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER:        2007:203160  HCAPLUS
DOCUMENT NUMBER:         146:267903
TITLE:                   PSMA-binding aptamers and conjugates of PSMA-binding
                         aptamers for disease treatment
INVENTOR(S):             Diener, John L.; Hatala, Paul; Wagner-Whyte, Jess;
                         Wilson, Charles
PATENT ASSIGNEE(S):      USA
SOURCE:                  U.S. Pat. Appl. Publ., 113pp., Cont.-in-part of U.S.
                         Ser. No. 826,077.
                         CODEN: USXXCO
DOCUMENT TYPE:           Patent
LANGUAGE:                English
FAMILY ACC. NUM. COUNT:  12
PATENT INFORMATION:

     PATENT NO.          KIND   DATE        APPLICATION NO.         DATE
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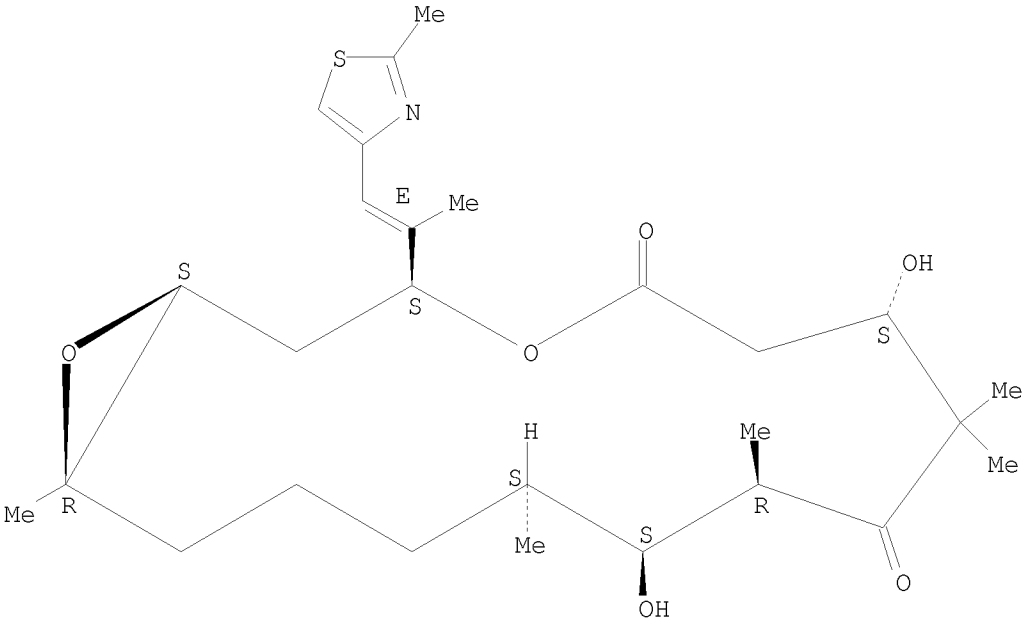
     US 20070041901       A1    20070222    US 2006-370491          20060307 <--
     US 20040022727       A1    20040205    US 2003-600007          20030618 <--
     US 20040249130       A1    20041209    US 2004-826077          20040415 <--
PRIORITY APPLN. INFO.:                       US 2002-390042P     P   20020618
                                             US 2003-600007      A2  20030618
                                             US 2004-826077      A2  20040415
                                             US 2005-660514P     P   20050307
                                             US 2005-670518P     P   20050411
AB   The present invention provides stabilized, high affinity nucleic acid
     ligands to PSMA as well as conjugates of these aptamers with various
     moieties, esp, drugs or cytotoxic compds. or protein toxins.  Thus, the
     identification and preparation of novel, stable, high affinity ligands to PSMA
     using the SELEX method with 2'-O-Me substituted nucleic acids, and cell
     surface SELEX are described.  Two aptamer-vinblastine conjugates were
     tested in an in vitro cell proliferation assay.  These conjugates killed
     LNCaP cells at 10-500 nM.
```

IT 152044-54-7D, Epothilone B, aptamer conjugates
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(PSMA-binding aptamers and conjugates of PSMA-binding aptamers for disease treatment)
RN 152044-54-7 HCAPLUS
CN 4,17-Dioxabicyclo[14.1.0]heptadecane-5,9-dione, 7,11-dihydroxy-8,8,10,12,16-pentamethyl-3-[(1E)-1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]-, (1S,3S,7S,10R,11S,12S,16R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).
Double bond geometry as shown.




OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)

L8 ANSWER 2 OF 151 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2005:1005828 HCAPLUS
DOCUMENT NUMBER: 143:292590
TITLE: Method of producing cationic liposomes comprising a lipophilic compound
INVENTOR(S): Mundus, Carsten; Welz, Christain; Schramel, Oliver; Haas, Heinrich; Fichert, Thomas; Schulze, Brita; Peymann, Toralf; Michaelis, Uwe; Teifel, Michael; Gruber, Friedrich; Winter, Gerhard
PATENT ASSIGNEE(S): Medigene Oncology G.m.b.H., Germany
SOURCE: U.S. Pat. Appl. Publ., 30 pp., Cont.-in-part of Appl. No. PCT/EP03/06759.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 20050202076 | A1 | 20050915 | US 2004-18574 | 20041222 <-- |
| EP 1374864 | A1 | 20040102 | EP 2002-18724 | 20020821 <-- |
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| WO 2004002468 | A1 | 20040108 | WO 2003-EP6759 | 20030626 <-- |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |

| PRIORITY APPLN. INFO.: | | |
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| US 2002-391245P | P | 20020626 |
| US 2002-391246P | P | 20020626 |
| EP 2002-18724 | A | 20020821 |
| EP 2003-4744 | A | 20030304 |
| WO 2003-EP6759 | A2 | 20030626 |

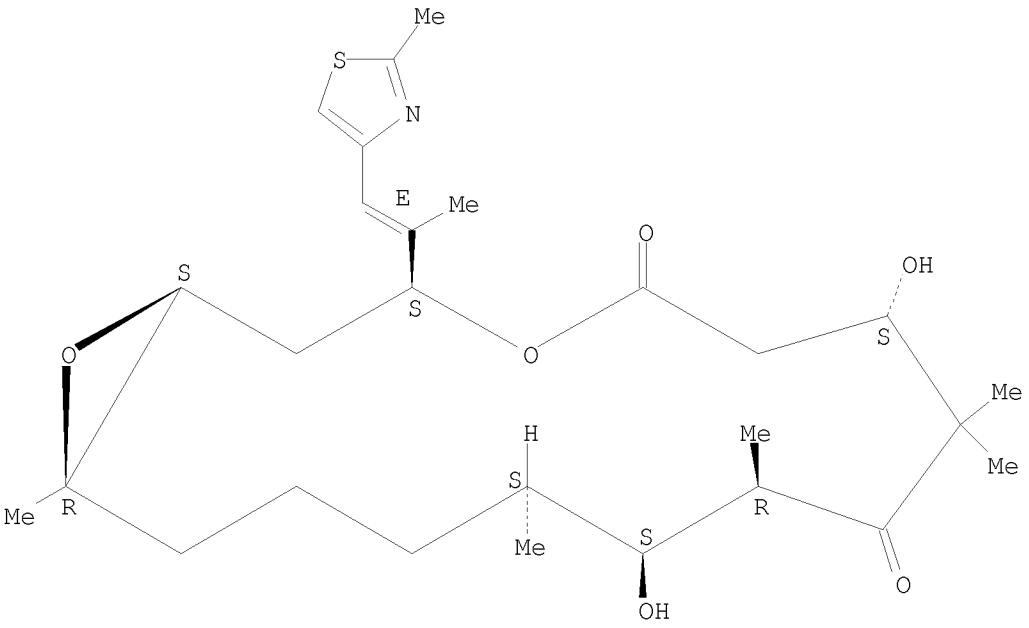
AB A method for producing a cationic liposome comprising a lipophilic active compound with phys. and chemical stability during manufacturing, storing and reconstituting, and further a cationic liposome obtainable by this method as well as pharmaceutical compns. are disclosed. Thus, liposomes contained paclitaxel 3, DOTAP-Cl 50, DOPC 47, trehalose-dihydrate 108.2, and EtOH 1.33 mol%.

IT 152044-54-7, Epothilone B
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(method of producing cationic liposomes comprising a lipophilic compound)

RN 152044-54-7 HCAPLUS

CN 4,17-Dioxabicyclo[14.1.0]heptadecane-5,9-dione, 7,11-dihydroxy-8,8,10,12,16-pentamethyl-3-[(1E)-1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]-, (1S,3S,7S,10R,11S,12S,16R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).
Double bond geometry as shown.




L8 ANSWER 3 OF 151 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2005:641841 HCAPLUS
DOCUMENT NUMBER: 143:159436
TITLE: Aptamers binding to platelet-derived growth factor and their use in treatment of neoplasms dependent on the growth factor
INVENTOR(S): Grate, Dilara; Diener, John L.; Wilson, Charles; McCauley, Thomas Greene
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 104 pp., Cont.-in-part of U.S. Ser. No. 873,853.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 12
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
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| US 20050159351 | A1 | 20050721 | US 2004-980211 | 20041102 <-- |
| US 20040180360 | A1 | 20040916 | US 2003-718833 | 20031121 <-- |
| US 20040253243 | A1 | 20041216 | US 2004-762915 | 20040121 <-- |
| US 20040249130 | A1 | 20041209 | US 2004-826077 | 20040415 <-- |
| US 20040253679 | A1 | 20041216 | US 2004-829504 | 20040421 <-- |
| US 20050124565 | A1 | 20050609 | US 2004-873853 | 20040621 <-- |
| WO 2005052121 | A2 | 20050609 | WO 2004-US39137 | 20041122 |
| WO 2005052121 | A3 | 20060608 | | |

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NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, US
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NE, SN, TD, TG

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| WO 2006050498 | A2 | 20060511 | WO 2005-US39975 | | 20051102 |
| WO 2006050498 | A3 | 20070405 | | | |

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SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC,
VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
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CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
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KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

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| EP 1807107 | A2 | 20070718 | EP 2005-824135 | | 20051102 |

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BA, HR, MK, YU

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| US 20070009476 | A1 | 20070111 | US 2006-482671 | | 20060706 <-- |
| US 20090053138 | A1 | 20090226 | US 2008-666954 | | 20080505 <-- |

PRIORITY APPLN. INFO.:

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| US 2002-428102P | P | 20021121 |
| US 2003-441357P | P | 20030121 |
| US 2003-463095P | P | 20030415 |
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| US 2003-718833 | A2 | 20031121 |
| US 2004-537045P | P | 20040116 |
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| US 2004-873853 | A2 | 20040621 |
| US 2002-390042P | P | 20020618 |
| US 2003-600007 | A2 | 20030618 |
| US 2004-980211 | A2 | 20041102 |
| US 2004-632358P | P | 20041130 |
| US 2004-632609P | P | 20041201 |
| US 2005-652496P | P | 20050210 |
| US 2005-652494P | P | 20050211 |

US 2005-667866P P 20050401
US 2005-672200P P 20050415
WO 2005-US39975 W 20051102

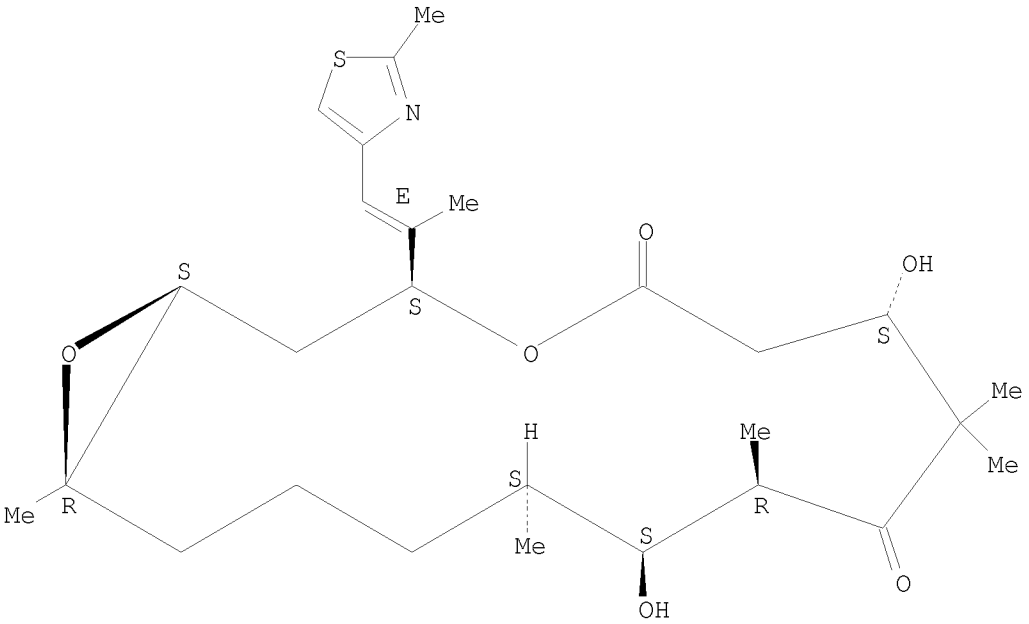
AB Therapeutically useful aptamer ligands for platelet-derived growth factor (PDGF) and its isoforms, PDGF receptors, vascular endothelial growth factor (VEGF), and VEGF receptor are described for use in cancer therapy. The aptamers may bind one or more of these proteins. These aptamers are particularly useful in solid tumor therapy and can be used alone or in combination with known cytotoxic agents for the treatment of solid tumors. Also disclosed are aptamers having one or more CpG motifs for use as adjuvants. These aptamers were selected by SELEX. These oligonucleotides inhibited the proliferation of 3T3 cells in culture at concns. of 3 nM to >1 μM. They also inhibited PDGF-dependent chemotaxis of 3T3 cells. In a Lewis lung carcinoma model, aptamers were effective in inhibiting proliferation of implants in mice. The composition, when combined with irinotecan, improved the efficacy of the irinotecan in colon cancer xenograft models.

IT 152044-54-7, Epothilone B
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(cancer chemotherapy with aptamers and; aptamers binding to platelet-derived growth factor and their use in treatment of neoplasms dependent on PDGF)

RN 152044-54-7 HCAPLUS

CN 4,17-Dioxabicyclo[14.1.0]heptadecane-5,9-dione, 7,11-dihydroxy-8,8,10,12,16-pentamethyl-3-[(1E)-1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]-, (1S,3S,7S,10R,11S,12S,16R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).
Double bond geometry as shown.




L8 ANSWER 4 OF 151 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2005:572598 HCAPLUS

DOCUMENT NUMBER: 143:97209
TITLE: Synthesis of epothilones for use in pharmaceutical compositions as antitumor agents
INVENTOR(S): Danishefsky, Samuel J.; Rivkin, Alexey; Yoshimura, Fumihiko; Chou, Ting-Chao; Gabarda, Ana E.; Dong, Huajin; Wu, Kaida; Moore, Malcolm A. S.; Dorn, David
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 274 pp., Cont.-in-part of U.S. Ser. No. 435,408.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE | |
|---|---|---|---|---|---|
| US 20050143429 | A1 | 20050630 | US 2004-921109 | 20040818 | <-- |
| US 7384964 | B2 | 20080610 | | | |
| US 20040053995 | A1 | 20040318 | US 2003-402004 | 20030328 | <-- |
| US 6921769 | B2 | 20050726 | | | |
| US 20040053910 | A1 | 20040318 | US 2003-435408 | 20030509 | <-- |
| AU 2005218308 | A1 | 20050915 | AU 2005-218308 | 20050228 | |
| CA 2556692 | A1 | 20050915 | CA 2005-2556692 | 20050228 | |
| WO 2005084222 | A2 | 20050915 | WO 2005-US6051 | 20050228 | |
| WO 2005084222 | A3 | 20051124 | | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | | |
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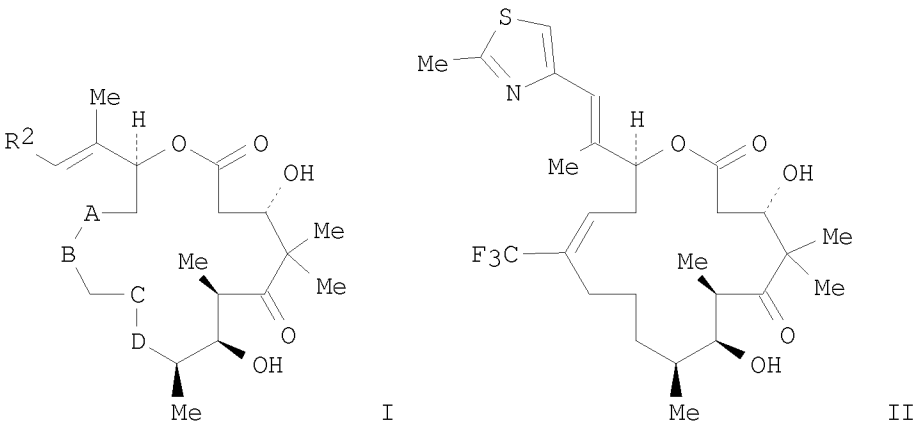
| EP 1722791 | A2 | 20061122 | EP 2005-723768 | 20050228 | |
| R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR | | | | | |
| CN 1976699 | A | 20070606 | CN 2005-80013480 | 20050228 | |
| BR 2005008232 | A | 20070717 | BR 2005-8232 | 20050228 | |
| JP 2007525519 | T | 20070906 | JP 2007-500999 | 20050228 | |
| ZA 2005002337 | A | 20060927 | ZA 2005-2337 | 20050318 | |
| MX 2006009792 | A | 20061116 | MX 2006-9792 | 20060828 | |
| KR 2007100626 | A | 20071011 | KR 2006-720063 | 20060927 | |
| US 20090149516 | A1 | 20090611 | US 2008-135823 | 20080609 | <-- |

| PRIORITY APPLN. INFO.: | | |
|---|---|---|
| US 2002-405823P | P | 20020823 |
| US 2002-408589P | P | 20020906 |
| US 2002-423129P | P | 20021101 |
| US 2003-456159P | P | 20030320 |
| US 2003-402004 | A2 | 20030328 |
| US 2003-435408 | A2 | 20030509 |
| US 2003-496741P | P | 20030821 |
| US 2004-548402P | P | 20040227 |
| US 2004-921109 | A | 20040818 |
| WO 2005-US6051 | W | 20050228 |

OTHER SOURCE(S): CASREACT 143:97209; MARPAT 143:97209
GI



I II

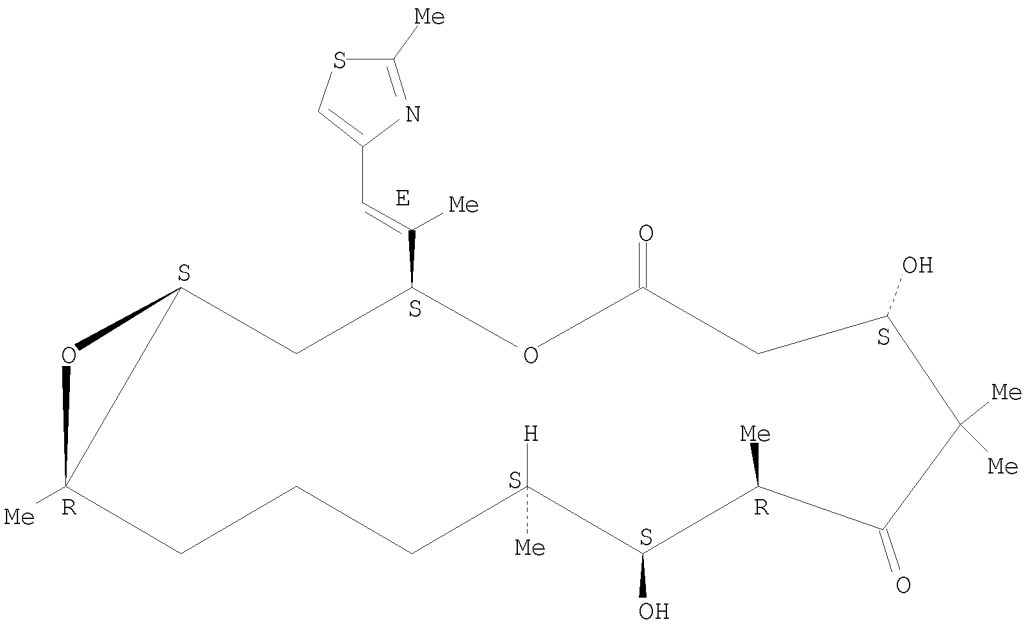
AB Epothilone analogs, such as I [-A-B-, -C-D- = -C.tplbond.C-, -CH(R)CH(R1)-, -C(R):C(R1)-; R, R1 = H, alkyl, halogen, alkoxy, acyl, etc.; -A-B- = fused oxirane ring; -C-D- = fused cyclopropane or fused aziridine ring; R2 = aryl, heteroaryl, arylalkyl, heteroarylalkyl] are prepared as antitumor agents. The present invention also provides pharmaceutical compns. comprising compds. of formula I and provides methods of treating cancer comprising administering a compound of formula I. Thus, II was prepared via an intramol. methathesis macrocyclization synthetic sequence and showed good cell growth inhibition against various drug-resistant tumors.

IT 152044-54-7P 190370-13-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis of epothilone derivs. for use in pharmaceutical compns. as antitumor agents)

RN 152044-54-7 HCAPLUS

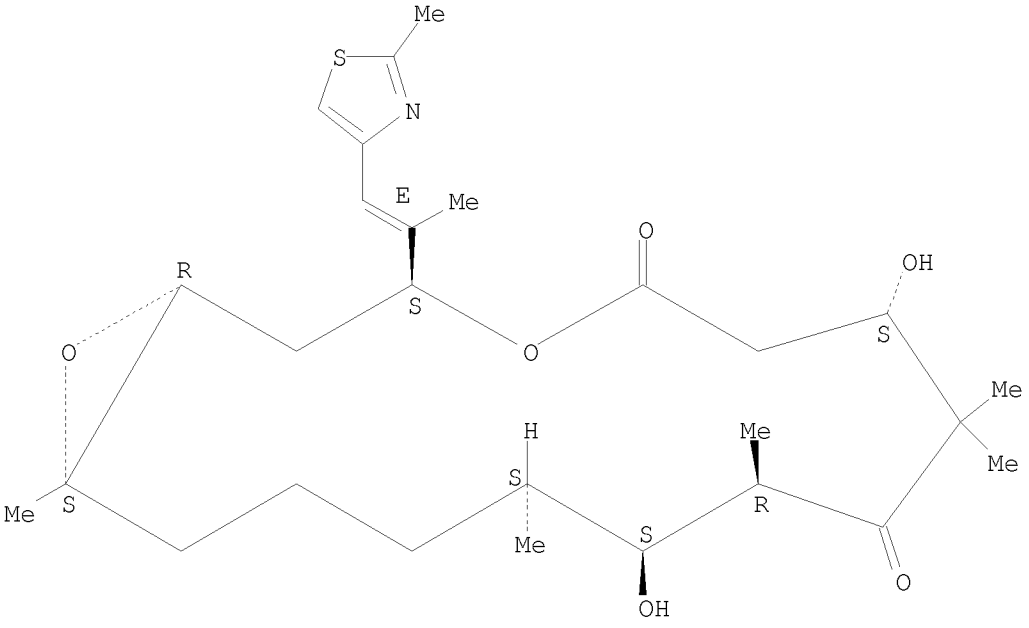
CN 4,17-Dioxabicyclo[14.1.0]heptadecane-5,9-dione, 7,11-dihydroxy-8,8,10,12,16-pentamethyl-3-[(1E)-1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]-, (1S,3S,7S,10R,11S,12S,16R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).
Double bond geometry as shown.




RN 190370-13-9 HCAPLUS
CN 4,17-Dioxabicyclo[14.1.0]heptadecane-5,9-dione, 7,11-dihydroxy-8,8,10,12,16-pentamethyl-3-[(1E)-1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]-, (1R,3S,7S,10R,11S,12S,16S)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 5 OF 151 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2005:497473 HCAPLUS
DOCUMENT NUMBER: 143:65317
TITLE: Aptamers binding to PDGF, VEGF, or other targets and their use as oncology therapeutics
INVENTOR(S): Diener, John L.; Epstein, David; Ferguson, Alicia; Grate, Dilara; Keefe, Anthony Dominic; McCauley, Thomas Greene; Preiss, Jeffrey R.; Stanton, Martin; Wilson, Charles
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 101 pp., Cont.-in-part of U.S. Ser. No. 829,504.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 12
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 20050124565 | A1 | 20050609 | US 2004-873853 | 20040621 <-- |
| US 20040180360 | A1 | 20040916 | US 2003-718833 | 20031121 <-- |
| US 20040253243 | A1 | 20041216 | US 2004-762915 | 20040121 <-- |
| US 20040249130 | A1 | 20041209 | US 2004-826077 | 20040415 <-- |
| US 20040253679 | A1 | 20041216 | US 2004-829504 | 20040421 <-- |
| US 20050159351 | A1 | 20050721 | US 2004-980211 | 20041102 <-- |
| WO 2005052121 | A2 | 20050609 | WO 2004-US39137 | 20041122 |
| WO 2005052121 | A3 | 20060608 | | |
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| RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| US 20070009476 | A1 | 20070111 | US 2006-482671 | 20060706 <-- |
| US 20090053138 | A1 | 20090226 | US 2008-666954 | 20080505 <-- |

| PRIORITY APPLN. INFO.: | | | |
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| | US 2002-428102P | P | 20021121 |
| | US 2003-441357P | P | 20030121 |
| | US 2003-463095P | P | 20030415 |
| | US 2003-464179P | P | 20030421 |
| | US 2003-464239P | P | 20030421 |
| | US 2003-465053P | P | 20030423 |
| | US 2003-465055P | P | 20030423 |
| | US 2003-469628P | P | 20030508 |
| | US 2003-474133P | P | 20030529 |
| | US 2003-474680P | P | 20030529 |
| | US 2003-486580P | P | 20030711 |
| | US 2003-489810P | P | 20030723 |
| | US 2003-491019P | P | 20030729 |

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|---|---|---|
| US 2003-503596P | P | 20030916 |
| US 2003-512071P | P | 20031017 |
| US 2003-523935P | P | 20031121 |
| US 2003-718833 | A2 | 20031121 |
| US 2004-537045P | P | 20040116 |
| US 2004-537201P | P | 20040116 |
| US 2004-762915 | A2 | 20040121 |
| US 2004-826077 | A2 | 20040415 |
| US 2004-829504 | A2 | 20040421 |
| US 2002-390042P | P | 20020618 |
| US 2003-600007 | A2 | 20030618 |
| US 2004-873853 | A2 | 20040621 |
| US 2004-980211 | A2 | 20041102 |
| US 2004-632358P | P | 20041130 |
| US 2004-632609P | P | 20041201 |
| US 2005-652496P | P | 20050210 |
| US 2005-652494P | P | 20050211 |
| US 2005-667866P | P | 20050401 |
| US 2005-672200P | P | 20050415 |
| WO 2005-US39975 | W | 20051102 |

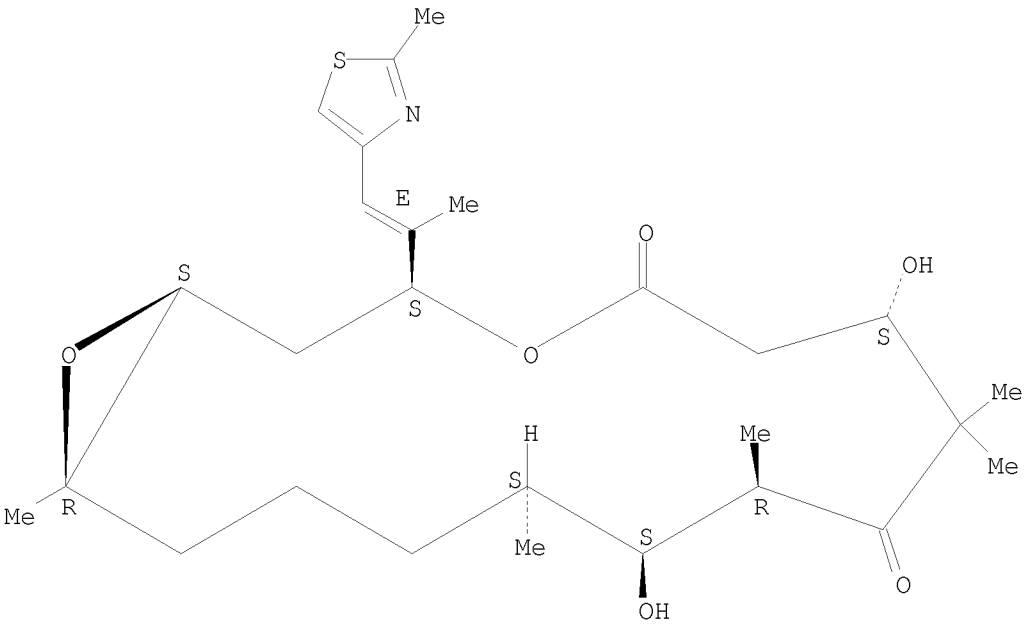
AB Materials and methods are provided for producing and using aptamers useful as oncol. therapeutics capable of binding to PDGF, PDGF isoforms, PDGF receptor, and VEGF or any combination thereof with great affinity and specificity. The compns. of the present invention are particularly useful in solid tumor therapy and can be used alone or in combination with known cytotoxic agents for the treatment of solid tumors. Also disclosed are aptamers having one or more CpG motifs embedded therein or appended thereto. Thus, a composition comprising three PDGF-binding aptamers connected via hexaethylene glycol bridges and conjugated to PEG at the 5'-terminus was prepared This composition exhibited superior pharmacokinetics to one not conjugated to PEG. The composition, when combined with irinotecan, improved the efficacy of the irinotecan in colon cancer xenograft models.

IT 152044-54-7, Epothilone B
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(aptamers and; aptamers binding to PDGF, VEGF, or other targets and their use as oncol. therapeutics)

RN 152044-54-7 HCAPLUS

CN 4,17-Dioxabicyclo[14.1.0]heptadecane-5,9-dione, 7,11-dihydroxy-8,8,10,12,16-pentamethyl-3-[(1E)-1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]-, (1S,3S,7S,10R,11S,12S,16R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).
Double bond geometry as shown.




L8 ANSWER 6 OF 151 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2004:1080882 HCAPLUS
DOCUMENT NUMBER: 142:38062
TITLE: Preparation of protected 5,7-dihydroxy-4,4-dimethyl-3-oxoheptanoic acid ester derivatives and intermediates thereof for synthesizing epothilones and derivatives
INVENTOR(S): Westermann, Juergen; Platzek, Johannes; Petrov, Orlin
PATENT ASSIGNEE(S): Schering Aktiengesellschaft, Germany
SOURCE: PCT Int. Appl., 33 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2004108697 | A1 | 20041216 | WO 2004-EP6057 | 20040605 <-- |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| DE 10326195 | A1 | 20041223 | DE 2003-10326195 | 20030607 <-- |

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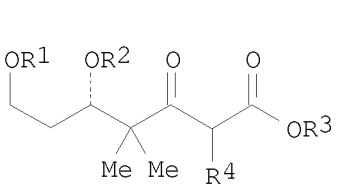
|---|---|---|---|---|---|
| EP 1631563 | A1 | 20060308 | EP 2004-739609 | | 20040605 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK | | | | | |
| JP 2006527180 | T | 20061130 | JP 2006-508280 | | 20040605 |
| US 20080015366 | A1 | 20080117 | US 2007-559389 | | 20070316 <-- |
| PRIORITY APPLN. INFO.: | | | DE 2003-10326195 | A | 20030607 |
| | | | WO 2004-EP6057 | W | 20040605 |

OTHER SOURCE(S): MARPAT 142:38062


GI

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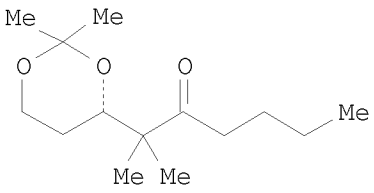



III

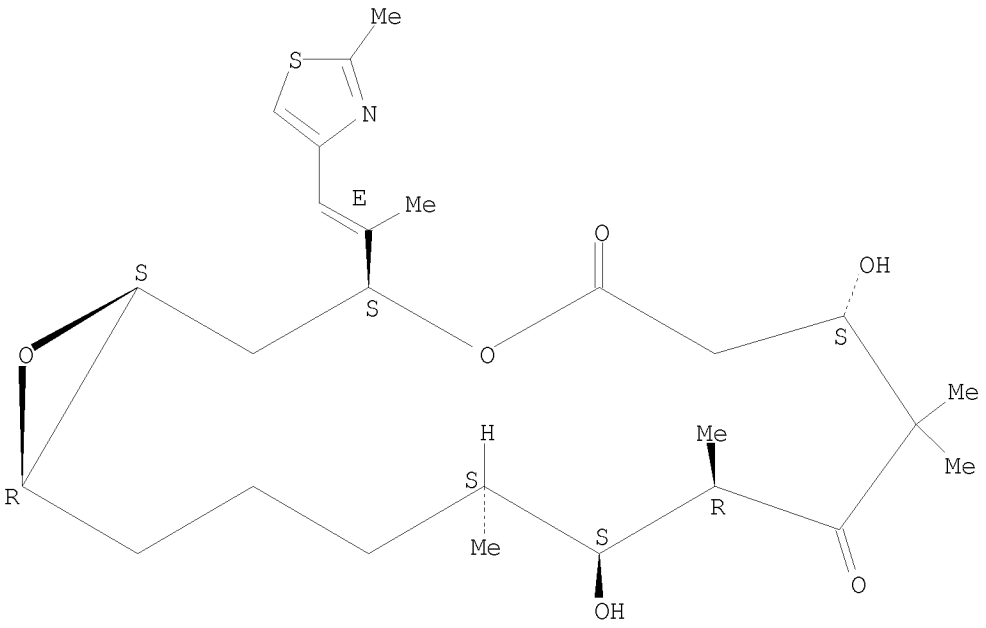

AB The present invention discloses methods for preparation of novel protected 5,7-dihydroxy-4,4-dimethyl-3-oxoheptanoic acid ester derivs., such as I [R1, R2 = hydroxyl protecting group; R1R2 = isopropylidne; R3 = alkyl; R4 = allyl, alkenyl, alkynyl, alkoxyalkyl, alkoxyalkenyl, alkoxyalkynyl, arylalkyl, etc.], and intermediates thereof for the synthesis of epothilones and epothilone derivs. Thus, 3-[(4S)-2,2-dimethyl-1,3-dioxan-4-yl]-3-methyl-butan-2-one, [obtained by the reaction of 3(S)-(3,5)-acetonedimethylketal-2,2-dimethyl-pentan-nitrile and methyllithium-lithiumbromide-complex], was treated with diallylcarbonate to afford (4S)-2,2-dimethyl-[1,3]-dioxan-4-yl-4-methyl-3-oxo-pentanoic acid allyl ester (II). II was reacted with terakistriphenylphosphinepalladium to provide (4S)-4-(2-methyl-3-oxo-hept-6-ene-2-yl)-2,2-dimethyl-[1,3]-dioxane, which was hydrogenated in presence of palladium-carbon to afford (4S)-4-(2-methyl-3-oxo-heptane-2-yl)-2,2-dimethyl-[1,3]-dioxane (III).


IT 152044-53-6DP, Epothilone A, derivs.
RL: PNU (Preparation, unclassified); PREP (Preparation)
(preparation of protected 5,7-dihydroxy-4,4-dimethyl-3-oxoheptanoic acid esters and intermediates thereof for synthesizing epothilones and derivs.)

RN 152044-53-6 HCAPLUS

CN 4,17-Dioxabicyclo[14.1.0]heptadecane-5,9-dione, 7,11-dihydroxy-8,8,10,12-tetramethyl-3-[(1E)-1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]-, (1S,3S,7S,10R,11S,12S,16R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).
Double bond geometry as shown.




REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 7 OF 151 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2004:1080626 HCAPLUS
DOCUMENT NUMBER: 142:49205
TITLE: Stabilized aptamers to growth factors and their receptors for use in the treatment of solid tumors
INVENTOR(S): Epstein, David; Grate, Dilara; Stanton, Martin; Diener, John L.; Wilson, Charles; McCauley, Thomas; DeSouza, Errol
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 96 pp., Cont.-in-part of U.S. Ser. No. 762,915.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 12
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | | DATE | |
|---|---|---|---|---|---|---|
| US 20040253679 | A1 | 20041216 | US 2004-829504 | | 20040421 | <-- |
| US 20040180360 | A1 | 20040916 | US 2003-718833 | | 20031121 | <-- |
| US 20040253243 | A1 | 20041216 | US 2004-762915 | | 20040121 | <-- |
| US 20050124565 | A1 | 20050609 | US 2004-873853 | | 20040621 | <-- |
| US 20050159351 | A1 | 20050721 | US 2004-980211 | | 20041102 | <-- |
| US 20070009476 | A1 | 20070111 | US 2006-482671 | | 20060706 | <-- |
| US 20090053138 | A1 | 20090226 | US 2008-666954 | | 20080505 | <-- |
| PRIORITY APPLN. INFO.: | | | US 2002-428102P | P | 20021121 | |
| | | | US 2003-441357P | P | 20030121 | |
| | | | US 2003-463095P | P | 20030415 | |

| | | |
|---|---|---|
| US 2003-464179P | P | 20030421 |
| US 2003-464239P | P | 20030421 |
| US 2003-465053P | P | 20030423 |
| US 2003-465055P | P | 20030423 |
| US 2003-469628P | P | 20030508 |
| US 2003-474133P | P | 20030529 |
| US 2003-474680P | P | 20030529 |
| US 2003-486580P | P | 20030711 |
| US 2003-489810P | P | 20030723 |
| US 2003-491019P | P | 20030729 |
| US 2003-503596P | P | 20030916 |
| US 2003-512071P | P | 20031017 |
| US 2003-718833 | A2 | 20031121 |
| US 2004-537045P | P | 20040116 |
| US 2004-537201P | P | 20040116 |
| US 2004-762915 | A2 | 20040121 |
| US 2003-523935P | P | 20031121 |
| US 2004-826077 | A2 | 20040415 |
| US 2004-829504 | A2 | 20040421 |
| US 2004-873853 | A2 | 20040621 |
| US 2004-980211 | A2 | 20041102 |
| US 2004-632358P | P | 20041130 |
| US 2004-632609P | P | 20041201 |
| US 2005-652496P | P | 20050210 |
| US 2005-652494P | P | 20050211 |
| US 2005-667866P | P | 20050401 |
| US 2005-672200P | P | 20050415 |
| WO 2005-US39975 | W | 20051102 |

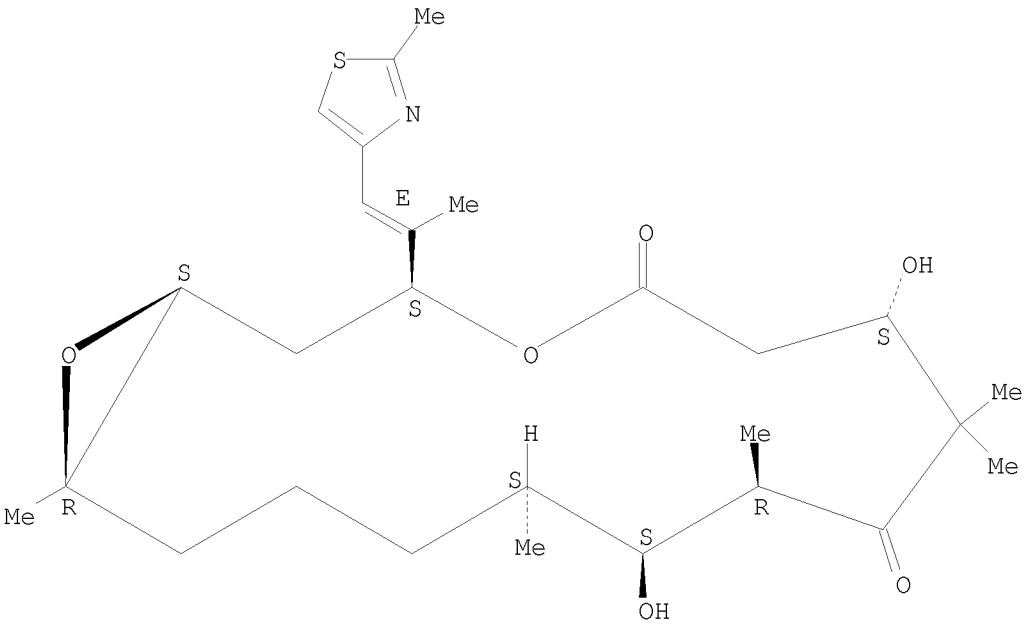
AB Aptamers that bind specifically to platelet-derived growth factor, vascular endothelial growth factor, their receptors and isoforms of the growth factors are described for use in the treatment of solid tumors dependent on these growth factors. They can be used alone or in combination with known cytotoxic agents for the treatment of solid tumors. The aptamers are modified, e.g. by using modified backbones or conjugation with polyethylene glycol, to improve in vivo stability. Aptamers with one or more immunostimulant CpG motifs are also described. Bivalent aptamers binding one of these targets and another growth- or apoptosis-regulating are also described.

IT 152044-54-7, Epothilone B
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(cancer therapy with aptamers and; stabilized aptamers to growth factors and their receptors for use in treatment of solid tumors)

RN 152044-54-7 HCAPLUS

CN 4,17-Dioxabicyclo[14.1.0]heptadecane-5,9-dione, 7,11-dihydroxy-8,8,10,12,16-pentamethyl-3-[(1E)-1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]-, (1S,3S,7S,10R,11S,12S,16R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).
Double bond geometry as shown.




OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L8 ANSWER 8 OF 151 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2004:1060832 HCAPLUS
DOCUMENT NUMBER: 142:43740
TITLE: Aptamer-toxin molecules and methods for using same
INVENTOR(S): Stanton, Martin; Kurz, Markus; Wilson, Charles
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 41 pp., Cont.-in-part of U.S. Ser. No. 600,007.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 12
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 20040249130 | A1 | 20041209 | US 2004-826077 | 20040415 <-- |
| US 20040022727 | A1 | 20040205 | US 2003-600007 | 20030618 <-- |
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| WO 2004094614 | A3 | 20060727 | | |

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RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

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| EP 1620547 | A2 | 20060201 | EP 2004-760163 | 20040421 | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR | | | | | |
| JP 2007525177 | T | 20070906 | JP 2006-513287 | 20040421 | |
| US 20050124565 | A1 | 20050609 | US 2004-873853 | 20040621 | <-- |
| US 20050159351 | A1 | 20050721 | US 2004-980211 | 20041102 | <-- |
| WO 2005116255 | A2 | 20051208 | WO 2005-US12797 | 20050415 | |
| WO 2005116255 | A3 | 20060413 | | | |

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RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

| | | | | | |
|---|---|---|---|---|---|
| EP 1756318 | A2 | 20070228 | EP 2005-778410 | 20050415 | |
| R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR | | | | | |
| US 20070041901 | A1 | 20070222 | US 2006-370491 | 20060307 | <-- |
| US 20090053138 | A1 | 20090226 | US 2008-666954 | 20080505 | <-- |

PRIORITY APPLN. INFO.:

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|---|---|---|
| US 2002-390042P | P | 20020618 |
| US 2003-600007 | A2 | 20030618 |
| US 2002-428102P | P | 20021121 |
| US 2003-441357P | P | 20030121 |
| US 2003-463095P | P | 20030415 |
| US 2003-464179P | P | 20030421 |
| US 2003-464239P | P | 20030421 |
| US 2003-465053P | P | 20030423 |
| US 2003-465055P | P | 20030423 |
| US 2003-469628P | P | 20030508 |
| US 2003-474133P | P | 20030529 |
| US 2003-474680P | P | 20030529 |
| US 2003-486580P | P | 20030711 |
| US 2003-489810P | P | 20030723 |
| US 2003-491019P | P | 20030729 |
| US 2003-503596P | P | 20030916 |
| US 2003-512071P | P | 20031017 |
| US 2003-523935P | P | 20031121 |
| US 2003-718833 | A | 20031121 |
| US 2004-537045P | P | 20040116 |
| US 2004-537201P | P | 20040116 |
| US 2004-762915 | A | 20040121 |
| US 2004-826077 | A | 20040415 |
| US 2004-829504 | A2 | 20040421 |
| WO 2004-US12670 | W | 20040421 |
| US 2004-873853 | A2 | 20040621 |
| US 2004-980211 | A2 | 20041102 |

| | | |
|---|---|---|
| US 2004-632358P | P | 20041130 |
| US 2004-632609P | P | 20041201 |
| US 2005-652496P | P | 20050210 |
| US 2005-652494P | P | 20050211 |
| US 2005-660514P | P | 20050307 |
| US 2005-667866P | P | 20050401 |
| US 2005-670518P | P | 20050411 |
| US 2005-672200P | P | 20050415 |
| WO 2005-US12797 | W | 20050415 |
| WO 2005-US39975 | W | 20051102 |

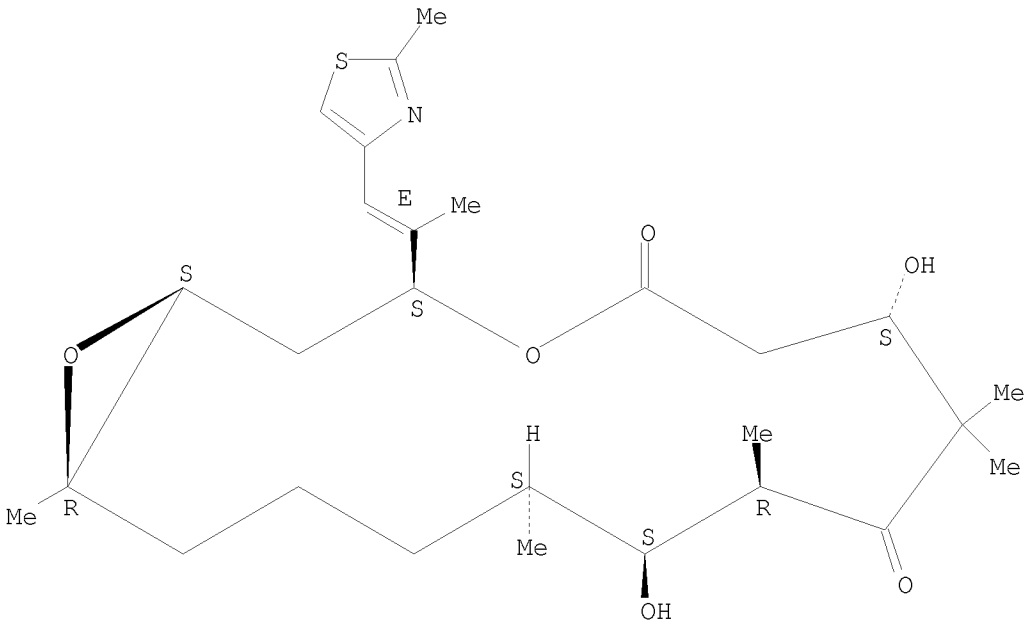
AB Materials and methods are provided to prepare therapeutic conjugates for the treatment of proliferative diseases. The therapeutic conjugates of the invention comprise a targeting moiety conjugated to a therapeutic moiety. The therapeutic moiety of the conjugates of the present invention have a cytotoxic effect and are useful in the treatment of proliferative diseases.

IT 152044-54-7D, Epothilone B, aptamer conjugates
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(aptamer-toxin conjugates for targeted treatment of proliferative diseases)

RN 152044-54-7 HCAPLUS

CN 4,17-Dioxabicyclo[14.1.0]heptadecane-5,9-dione, 7,11-dihydroxy-8,8,10,12,16-pentamethyl-3-[(1E)-1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]-, (1S,3S,7S,10R,11S,12S,16R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).
Double bond geometry as shown.




L8 ANSWER 9 OF 151 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2004:1036893 HCAPLUS
DOCUMENT NUMBER: 142:697
TITLE: Combination of histone deacetylase inhibitors with

chemotherapeutic agents
INVENTOR(S): Atadja, Peter Wisdom; Remiszewski, Stacy William; Trogani, Nancy
PATENT ASSIGNEE(S): Novartis A.-G., Switz.; Novartis Pharma G.m.b.H.
SOURCE: PCT Int. Appl., 43 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2004103358 | A2 | 20041202 | WO 2004-EP5433 | 20040519 <-- |
| WO 2004103358 | A3 | 20050217 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| AU 2004241729 | A1 | 20041202 | AU 2004-241729 | 20040519 <-- |
| CA 2526908 | A1 | 20041202 | CA 2004-2526908 | 20040519 <-- |
| EP 1628651 | A2 | 20060301 | EP 2004-733798 | 20040519 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK | | | | |
| CN 1791396 | A | 20060621 | CN 2004-80013238 | 20040519 |
| BR 2004010648 | A | 20060704 | BR 2004-10648 | 20040519 |
| JP 2006528952 | T | 20061228 | JP 2006-529883 | 20040519 |
| MX 2005012464 | A | 20060130 | MX 2005-12464 | 20051118 |
| IN 2005CN03082 | A | 20070831 | IN 2005-CN3082 | 20051121 |
| US 20070123580 | A1 | 20070531 | US 2007-557162 | 20070109 <-- |

PRIORITY APPLN. INFO.: US 2003-472161P P 20030521
WO 2004-EP5433 W 20040519

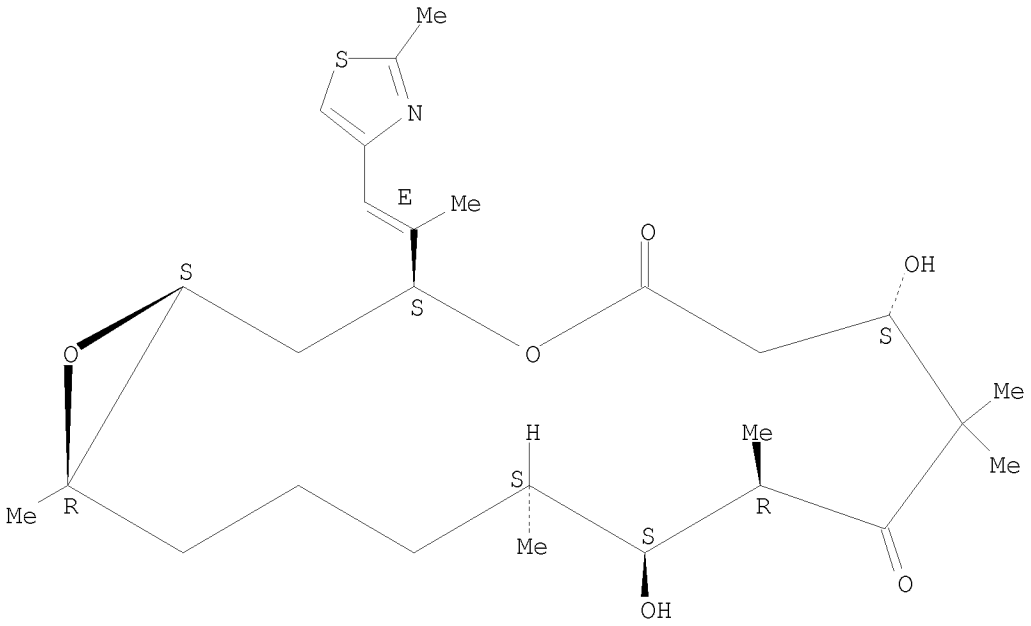
OTHER SOURCE(S): MARPAT 142:697

AB The invention relates to a combination which comprises (a) one or more chemotherapeutic agents and (b) a histone deacetylase inhibitor ('HDAI') for simultaneous, concurrent, sep. or sequential use, especially for use in the treatment of proliferative diseases including pre-malignant lesions (e.g. colon polyps) and malignancies, both solid and undifferentiated or other proliferative diseases in a mammal, particularly a human. The invention also relates to pharmaceutical compns. comprising such a combination and to a method of preventing or treating proliferative diseases including pre-malignant lesions (e.g. colon polyps) and malignancies, both solid and undifferentiated or other proliferative diseases, in a mammal, particularly a human, with such a combination. The present invention further also relates to a com. package or product comprising such a combination.

IT 152044-54-7, Epothilone B
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(combination of histone deacetylase inhibitors with chemotherapeutic

agents)
RN 152044-54-7 HCAPLUS
CN 4,17-Dioxabicyclo[14.1.0]heptadecane-5,9-dione, 7,11-dihydroxy-8,8,10,12,16-pentamethyl-3-[(1E)-1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]-, (1S,3S,7S,10R,11S,12S,16R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).
Double bond geometry as shown.




OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 10 OF 151 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2004:960045 HCAPLUS
DOCUMENT NUMBER: 141:384396
TITLE: Bone-localizing radiopharmaceutical and tubulin-interacting compound combinatorial radiotherapy
INVENTOR(S): Braendle, Edgar; Hausman, Diana
PATENT ASSIGNEE(S): Schering Ag, Germany
SOURCE: Eur. Pat. Appl., 25 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| EP 1475105 | A1 | 20041110 | EP 2003-11721 | 20030523 <-- |

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,

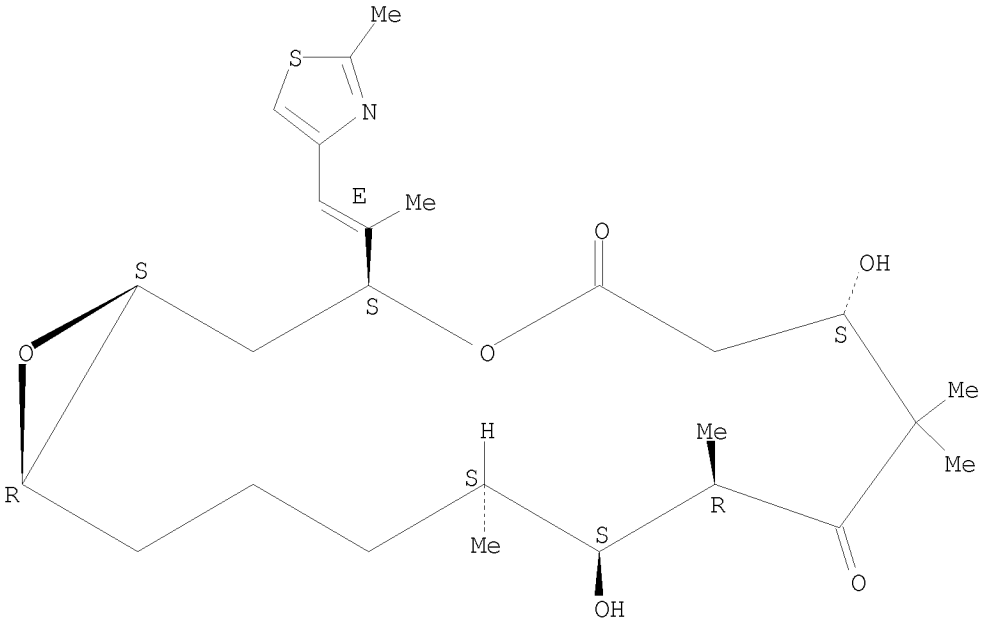
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
WO 2004098651 A2 20041118 WO 2004-EP4434 20040427 <--
WO 2004098651 A3 20050407
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
EP 1622650 A2 20060208 EP 2004-739109 20040427
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK
JP 2006525965 T 20061116 JP 2006-505288 20040427
US 20070092440 A1 20070426 US 2006-556163 20061121 <--
PRIORITY APPLN. INFO.: US 2003-469007P P 20030509
EP 2003-11721 A 20030523
WO 2004-EP4434 W 20040427

AB The present invention relates to a method for the improved treatment of a cancerous disease in a patient and/or for the palliation of pain associated with cancer diseases, comprising the administration of a tubulin interacting compound in combination with a bone-localizing radiopharmaceutical to the patient in an effective amount that will not cause any substantial ablation of the bone marrow. In particular, the cancerous disease is selected from the group of cancer diseases, comprising multiple myeloma, leukemia, lymphoma, breast cancer, prostate cancer, gynecol. cancer, gastric cancer ovarian cancer, lung cancer and/or renal cell carcinoma. In a preferred embodiment, the bone-localizing radiopharmaceutical is samarium Sm 153 lexidronam (Quadramet) and the tubulin-interacting compound is docetaxel.

IT 152044-53-6, Epothilone A 152044-54-7, Epothilone B
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(cancer radiotherapy with combination of bone-localizing radiopharmaceutical and tubulin-interacting compound)

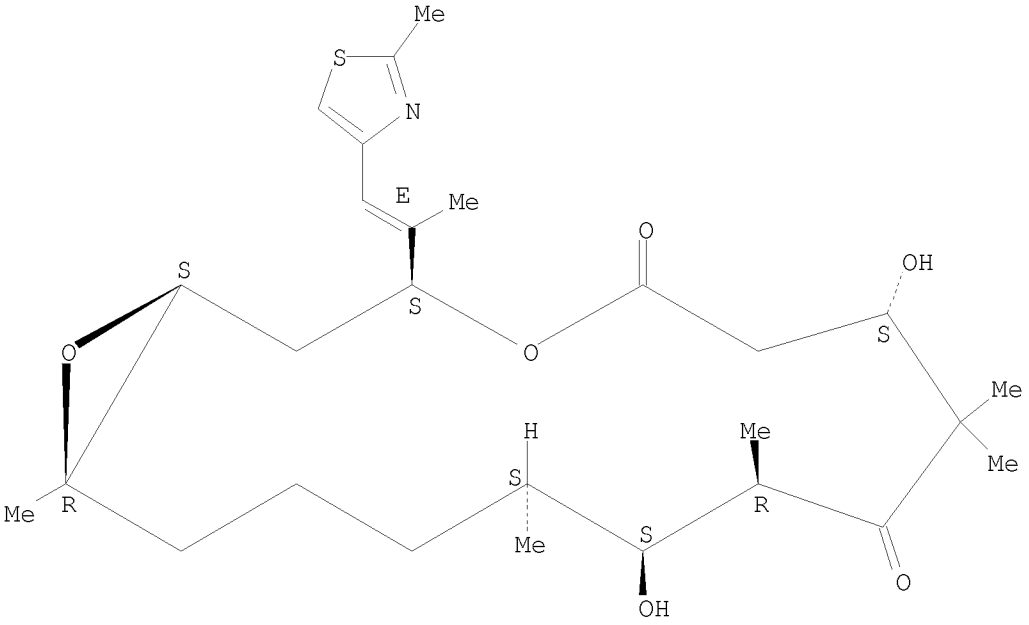
RN 152044-53-6 HCAPLUS
CN 4,17-Dioxabicyclo[14.1.0]heptadecane-5,9-dione, 7,11-dihydroxy-8,8,10,12-tetramethyl-3-[(1E)-1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]-, (1S,3S,7S,10R,11S,12S,16R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).
Double bond geometry as shown.




RN 152044-54-7 HCAPLUS
CN 4,17-Dioxabicyclo[14.1.0]heptadecane-5,9-dione, 7,11-dihydroxy-8,8,10,12,16-pentamethyl-3-[(1E)-1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]-, (1S,3S,7S,10R,11S,12S,16R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).
Double bond geometry as shown.



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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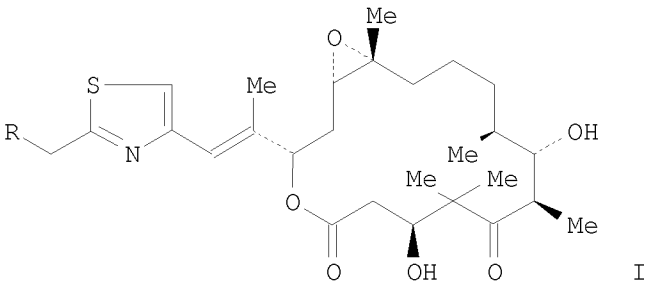
L8 ANSWER 40 OF 151 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2003:931114 HCAPLUS
DOCUMENT NUMBER: 139:395751
TITLE: Preparation of C-21 modified epothilone derivatives for use in pharmaceutical compositions for the treatment of cancer
INVENTOR(S): Lee, Francis Y. F.; Haby, Thomas A.; Naringrekar, Vijay H.; Raghavan, Krishnaswamy S.; Franchini, Miriam K.
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 65 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2003096975 | A2 | 20031127 | WO 2003-US15097 | 20030513 <-- |
| WO 2003096975 | A3 | 20031224 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| AU 2003234545 | A1 | 20031202 | AU 2003-234545 | 20030513 <-- |
| US 20040053978 | A1 | 20040318 | US 2003-437103 | 20030513 <-- |
| US 7053069 | B2 | 20060530 | | |
| EP 1505969 | A2 | 20050216 | EP 2003-728885 | 20030513 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | | |

PRIORITY APPLN. INFO.: US 2002-380634P P 20020515
WO 2003-US15097 W 20030513
OTHER SOURCE(S): MARPAT 139:395751
GI




I

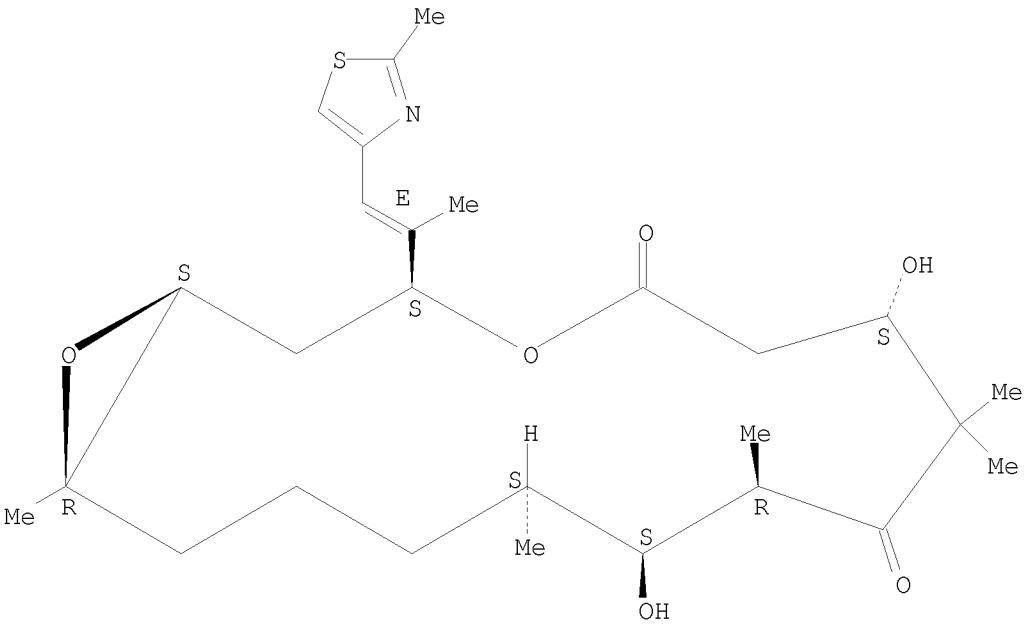
AB C-21 modified epothilones, such as I [R = NH2, OH, SH, alkylamino, alkoxy, alkylthio, etc.], were prepared for therapeutic use as antitumor agents. Thus, 21-aminoepothilone B I (R = NH2) was prepared by reaction of epothilone F I (R = OH) with diphenylphosphoryl azide in THF under argon to give 21-azidoepothilone B I (R = N3) in 91% yield and subsequent hydrogenation of the azide using Lindlar catalyst in EtOH and an H2 atmosphere to give the target amine in 81% yield. The compns. are stable and readily prepared for administration by dissoln. in aqueous vehicles suitable for i.v. administration. A process for formulating C-21 modified epothilone derivs. for oral and parenteral administration was disclosed.

IT 152044-54-7, Epothilone B
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of C-21 modified epothilone derivs. for use in pharmaceutical compns. for treatment of cancer)

RN 152044-54-7 HCAPLUS

CN 4,17-Dioxabicyclo[14.1.0]heptadecane-5,9-dione, 7,11-dihydroxy-8,8,10,12,16-pentamethyl-3-[(1E)-1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]-, (1S,3S,7S,10R,11S,12S,16R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).
Double bond geometry as shown.

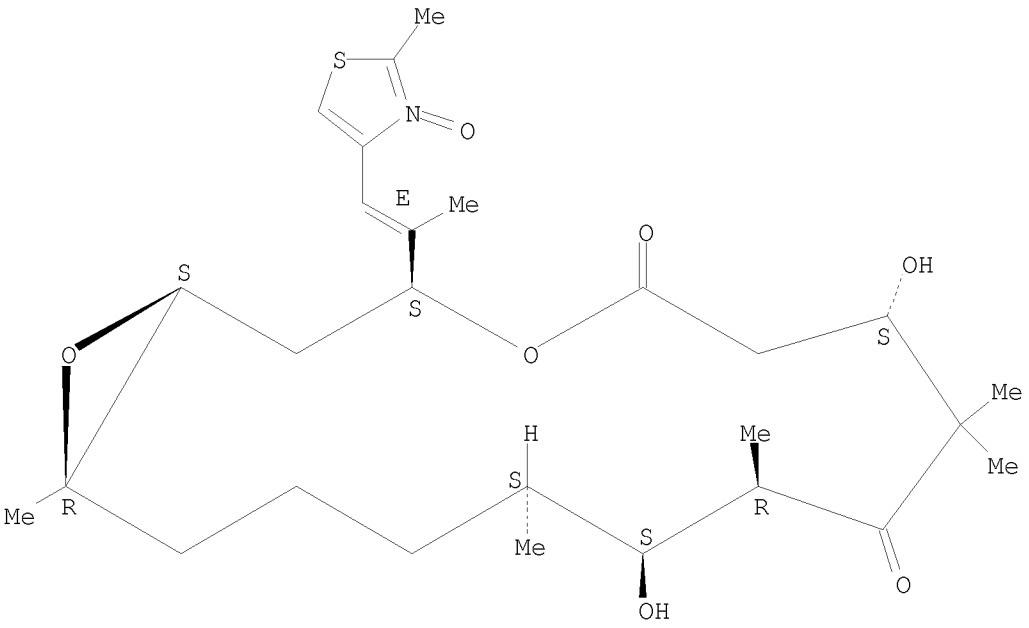



IT 219990-27-9P, Epothilone B N-oxide
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of C-21 modified epothilone derivs. for use in pharmaceutical compns. for treatment of cancer)

RN 219990-27-9 HCAPLUS

CN 4,17-Dioxabicyclo[14.1.0]heptadecane-5,9-dione, 7,11-dihydroxy-8,8,10,12,16-pentamethyl-3-[(1E)-1-methyl-2-(2-methyl-3-oxido-4-thiazolyl)ethenyl]-, (1S,3S,7S,10R,11S,12S,16R)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.




OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 41 OF 151 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2003:913055 HCAPLUS
DOCUMENT NUMBER: 139:399770
TITLE: Medical goods comprising heparin or chitosan-based hemocompatible coating
INVENTOR(S): Horres, Roland; Linssen, Marita Katharina; Hoffmann, Michael; Faust, Volker; Hoffmann, Erika; Di Biase, Donato
PATENT ASSIGNEE(S): Hemoteq G.m.b.H., Germany
SOURCE: PCT Int. Appl., 93 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2003094990 | A1 | 20031120 | WO 2003-DE1253 | 20030415 <-- |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,

| | | | | | |
|---|---|---|---|---|---|
| | FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| DE | 10221055 | A1 | 20031127 | DE 2002-10221055 | 20020510 <-- |
| DE | 10221055 | B4 | 20071025 | | |
| DE | 10261986 | A1 | 20040318 | DE 2002-10261986 | 20020510 <-- |
| DE | 10261986 | B4 | 20080131 | | |
| AU | 2003240391 | A1 | 20031111 | AU 2003-240391 | 20030415 <-- |
| AU | 2003240391 | B2 | 20070517 | | |
| CA | 2484269 | A1 | 20031120 | CA 2003-2484269 | 20030415 <-- |
| CN | 1543362 | A | 20041103 | CN 2003-800770 | 20030415 <-- |
| CN | 1318103 | C | 20070530 | | |
| EP | 1501565 | A1 | 20050202 | EP 2003-729829 | 20030415 |
| EP | 1501565 | B1 | 20061102 | | |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | | |
| BR | 2003011446 | A | 20050315 | BR 2003-11446 | 20030415 |
| CN | 1665554 | A | 20050907 | CN 2003-815926 | 20030415 |
| JP | 2005534724 | T | 20051117 | JP 2004-503070 | 20030415 |
| AT | 344064 | T | 20061115 | AT 2003-729829 | 20030415 |
| ES | 2276065 | T3 | 20070616 | ES 2003-729829 | 20030415 |
| NZ | 536331 | A | 20070831 | NZ 2003-536331 | 20030415 |
| AT | 404232 | T | 20080815 | AT 2003-749833 | 20030415 |
| ES | 2321082 | T3 | 20090602 | ES 2003-749833 | 20030415 |
| IN | 2004MN00606 | A | 20050218 | IN 2004-MN606 | 20041028 |
| ZA | 2004008791 | A | 20050527 | ZA 2004-8791 | 20041028 |
| ZA | 2004008757 | A | 20050531 | ZA 2004-8757 | 20041028 |
| US | 20050176678 | A1 | 20050811 | US 2004-513982 | 20041108 <-- |
| KR | 859995 | B1 | 20080925 | KR 2004-718023 | 20041108 |
| MX | 2004011112 | A | 20050714 | MX 2004-11112 | 20041109 |
| IN | 2005MN01451 | A | 20070706 | IN 2005-MN1451 | 20051230 |
| PRIORITY APPLN. INFO.: | | | | US 2002-378676P P | 20020509 |
| | | | | DE 2002-10221055 A | 20020510 |
| | | | | WO 2003-DE1253 W | 20030415 |
| | | | | IN 2004-MN606 A3 | 20041028 |

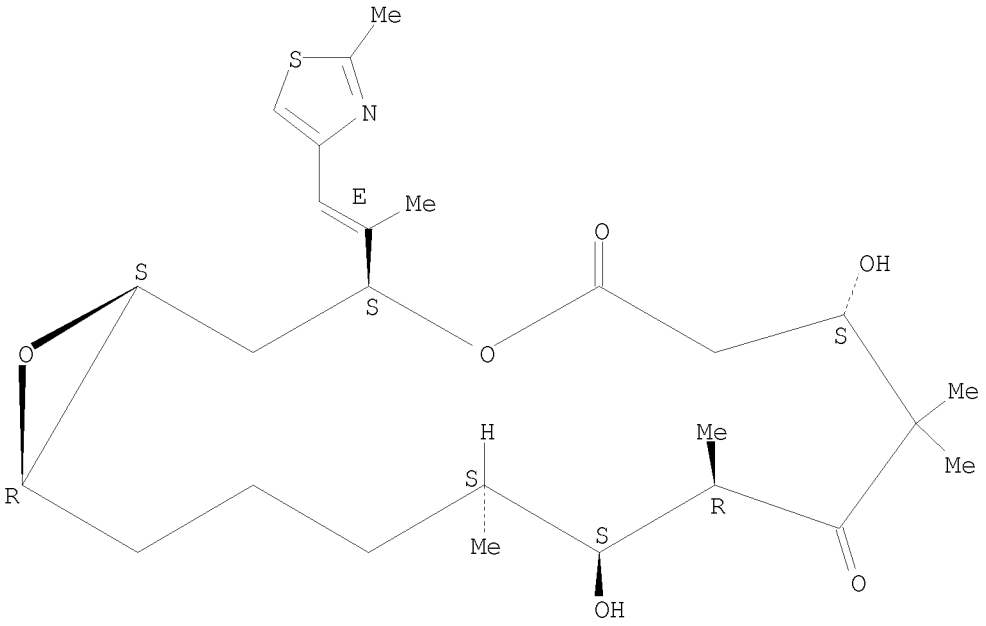
AB The invention relates to oligo- and polysaccharides containing the sugar structural element N-acylglucosamine or N-acylgalactosamine, in addition to the use thereof for producing hemocompatible surfaces and to methods for coating surfaces in a hemocompatible manner with said oligo- and polysaccharides, which constitute the common biosynthetic precursor substances of heparin, heparan sulfates and chitosan. The invention also relates to methods for producing the oligo- and/or polysaccharides, in addition to diverse application options involving hemocompatible surfaces. The invention specifically relates to the use of the oligo- and/or polysaccharides on stents involving at least one hemocompatible coating that has been applied according to the invention and that contains an anti-proliferative, anti-inflammatory and/or athrombogenic active ingredient, to methods for producing said stents and to the use of the latter for preventing restenosis. Thus desulfated and reacetylated heparin was prepared; the Ac-heparin product was used for coating coronary metal stents. The stents were implanted in swines; after four weeks the animals were anesthetized and the artery segments removed for histomorphometric anal.

IT 152044-53-6, Epothilone A 152044-54-7, Epothilone B
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(medical goods comprising a heparin-based hemocompatible coating)

RN 152044-53-6 HCAPLUS

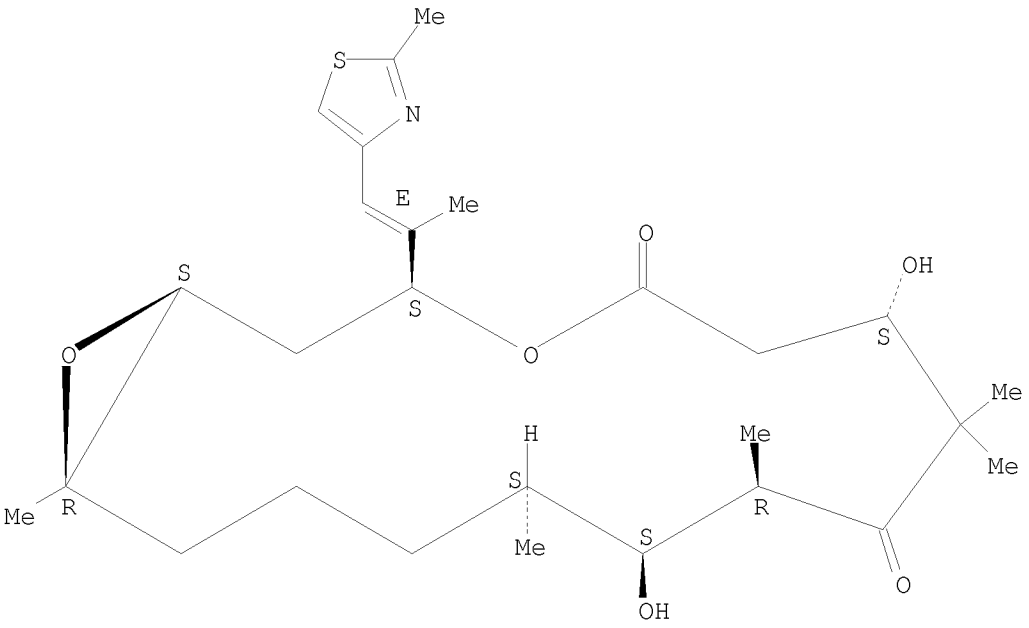
CN 4,17-Dioxabicyclo[14.1.0]heptadecane-5,9-dione, 7,11-dihydroxy-8,8,10,12-tetramethyl-3-[(1E)-1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]-, (1S,3S,7S,10R,11S,12S,16R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).
Double bond geometry as shown.




RN 152044-54-7 HCAPLUS
CN 4,17-Dioxabicyclo[14.1.0]heptadecane-5,9-dione, 7,11-dihydroxy-8,8,10,12,16-pentamethyl-3-[(1E)-1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]-, (1S,3S,7S,10R,11S,12S,16R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).
Double bond geometry as shown.




OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (6 CITINGS)
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

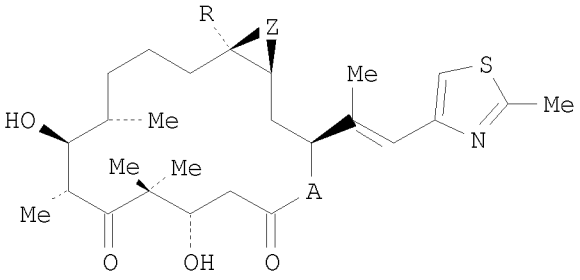
L8 ANSWER 42 OF 151 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2003:892609 HCAPLUS
DOCUMENT NUMBER: 139:358748
TITLE: Epothilone derivatives for the treatment of hepatoma and other cancers
INVENTOR(S): Rothermel, John David
PATENT ASSIGNEE(S): Novartis A-G., Switz.; Novartis Pharma G.m.b.H.
SOURCE: PCT Int. Appl., 26 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2003092683 | A1 | 20031113 | WO 2003-EP4581 | 20030430 <-- |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LT, LU, LV, MA, MD, MK, MN, MX, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SE, SG, SK, TJ, TM, TN, TR, TT, UA, US, UZ, VC, VN, YU, ZA, ZW | | | | |
| RW: AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR | | | | |
| CA 2483826 | A1 | 20031113 | CA 2003-2483826 | 20030430 <-- |
| AU 2003227702 | A1 | 20031117 | AU 2003-227702 | 20030430 <-- |

| | | | | | |
|---|---|---|---|---|---|
| AU 2003227702 | B2 | 20070726 | | | |
| BR 2003009711 | A | 20050209 | BR 2003-9711 | | 20030430 |
| EP 1503756 | A1 | 20050209 | EP 2003-725129 | | 20030430 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | | | |
| CN 1649585 | A | 20050803 | CN 2003-809770 | | 20030430 |
| JP 2005528414 | T | 20050922 | JP 2004-500867 | | 20030430 |
| NZ 536178 | A | 20071026 | NZ 2003-536178 | | 20030430 |
| RU 2358730 | C2 | 20090620 | RU 2004-135307 | | 20030430 |
| ZA 2004008492 | A | 20060927 | ZA 2004-8492 | | 20041020 |
| IN 2004CN02466 | A | 20070831 | IN 2004-CN2466 | | 20041029 |
| MX 2004010853 | A | 20050214 | MX 2004-10853 | | 20041101 |
| NO 2004005249 | A | 20050126 | NO 2004-5249 | | 20041130 |
| US 20050282873 | A1 | 20051222 | US 2005-512504 | | 20050711 <-- |
| IN 2007CN05291 | A | 20080627 | IN 2007-CN5291 | | 20071121 |
| US 20080161369 | A1 | 20080703 | US 2008-46017 | | 20080311 <-- |
| PRIORITY APPLN. INFO.: | | | US 2002-377063P | P | 20020501 |
| | | | WO 2003-EP4581 | W | 20030430 |
| | | | IN 2004-CN2466 | A3 | 20041029 |
| | | | US 2005-512504 | A3 | 20050711 |

OTHER SOURCE(S): MARPAT 139:358748

GI



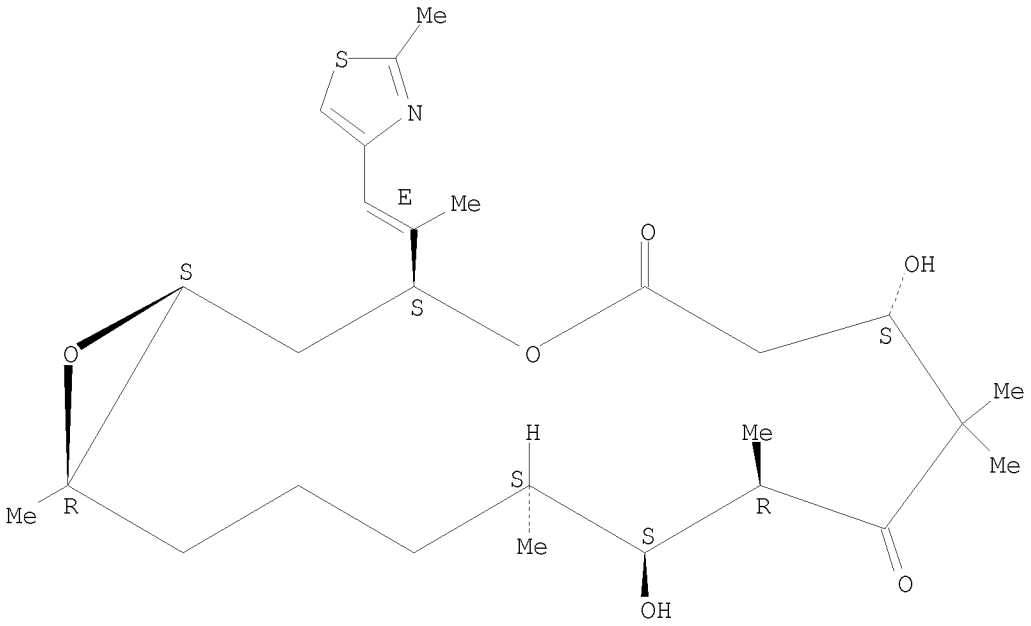

I

AB The invention provides a method for treating a warm-blooded animal, especially a human, having a cancer selected from hepatoma; primary Fallopian tube cancer; primary peritoneal cancer; breast cancer progressing after treatment with hormonal agents or radiotherapy; renal cell carcinoma progressing after treatment with a cytokine, radiotherapy, and/or nephrectomy; melanoma progressing after radiotherapy; prostate cancer progressing after orchiectomy, ovarian cancer progressing after treatment with a platinum compound or radiotherapy; and colorectal cancer progressing after radiotherapy and/or treatment with oxaliplatin or irinotecan; and metastasis thereof, comprising administering to the animal a therapeutically effective amount of an epothilone derivative I [A = O, NRN (RN = H, lower alkyl); R = H, lower alkyl; Z = O, bond], or a pharmaceutically acceptable salt thereof.

IT 152044-54-7
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(epothilone derivs. for treatment of hepatoma and other

cancers)
RN 152044-54-7 HCAPLUS
CN 4,17-Dioxabicyclo[14.1.0]heptadecane-5,9-dione, 7,11-dihydroxy-8,8,10,12,16-pentamethyl-3-[(1E)-1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]-, (1S,3S,7S,10R,11S,12S,16R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).
Double bond geometry as shown.




OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)
REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 43 OF 151 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2003:757689 HCAPLUS
DOCUMENT NUMBER: 139:276755
TITLE: Preparation of epothilone derivatives for therapeutic use as anticancer agents
INVENTOR(S): Regueiro-Ren, Alicia; Kim, Soong-Hoon
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 47 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2003078411 | A1 | 20030925 | WO 2003-US7584 | 20030311 <-- |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,

GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ,
UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

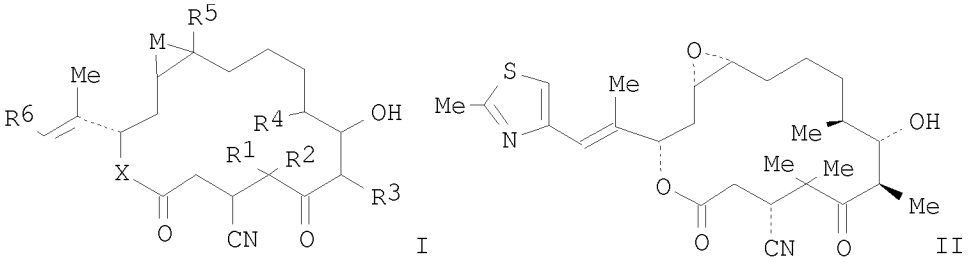
| | | | | | |
|---|---|---|---|---|---|
| AU 2003218110 | A1 | 20030929 | AU 2003-218110 | 20030311 | <-- |
| US 20030191089 | A1 | 20031009 | US 2003-386072 | 20030311 | <-- |
| US 6719540 | B2 | 20040413 | | | |
| EP 1483251 | A1 | 20041208 | EP 2003-714096 | 20030311 | <-- |

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK

PRIORITY APPLN. INFO.: US 2002-363441P P 20020312
WO 2003-US7584 W 20030311

OTHER SOURCE(S): MARPAT 139:276755

GI



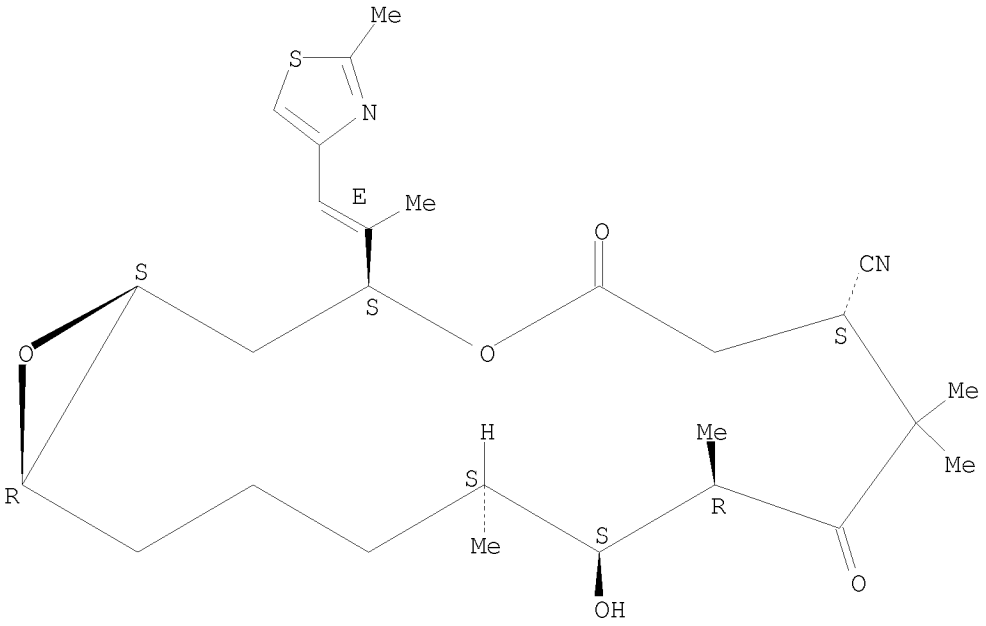

AB Epothilone derivs., such as I [M = bond, O, NR9, CR10R11; X = O, NH; R1-R4 = H, alkyl; R5 = H, alkyl, cyano; R6 = H, alkyl, aryl, heterocyclyl; R9-R11 = H, OH, alkyl, alkoxy, aryl, cycloalkyl, heterocyclyl], pharmaceutically acceptable salts, solvates or hydrate thereof, were prepared for use as antitumor agents. Thus, epothilone derivative II was prepared from 2,3-dehydro epothilone A, via silylation of hydroxyl group, potassium cyanide addition, followed by deprotection. The prepared epothilone derivs. were assayed in vitro for their effect on tubulin polymerization and for cytotoxicity against HCT-116 human colon carcinoma cells. Therapeutic compns. containing I or in combination with other therapeutic agents useful in the treatment of cancer or other proliferative diseases are also claimed.

IT 476623-89-9P 476623-90-2P 476623-91-3P
476623-92-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of epothilone derivs. for therapeutic use as anticancer agents)

RN 476623-89-9 HCAPLUS

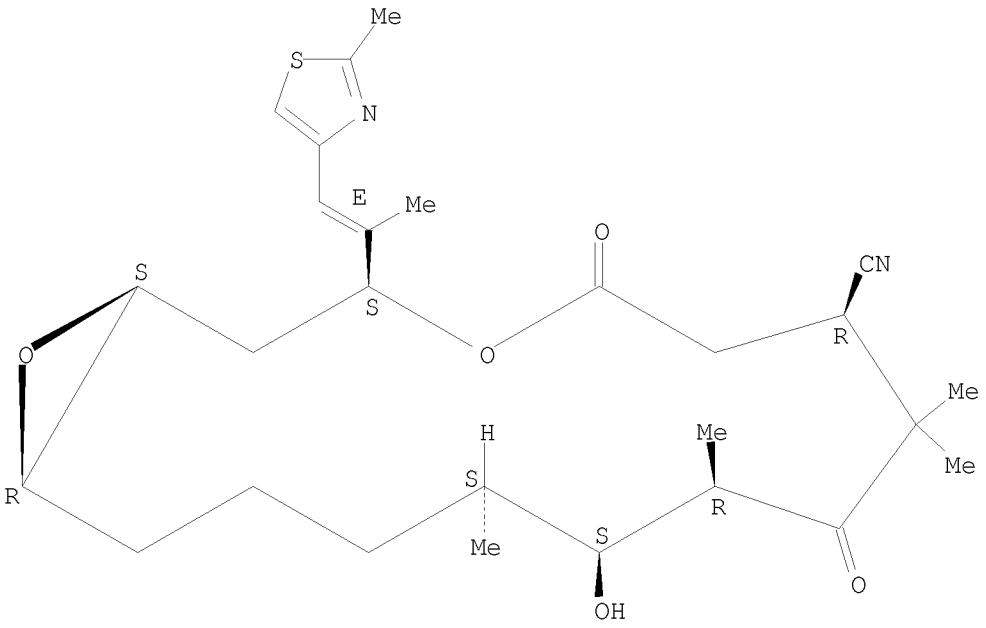
CN 4,17-Dioxabicyclo[14.1.0]heptadecane-7-carbonitrile, 11-hydroxy-8,8,10,12-tetramethyl-3-[(1E)-1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]-5,9-dioxo-, (1S,3S,7S,10R,11S,12S,16R)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



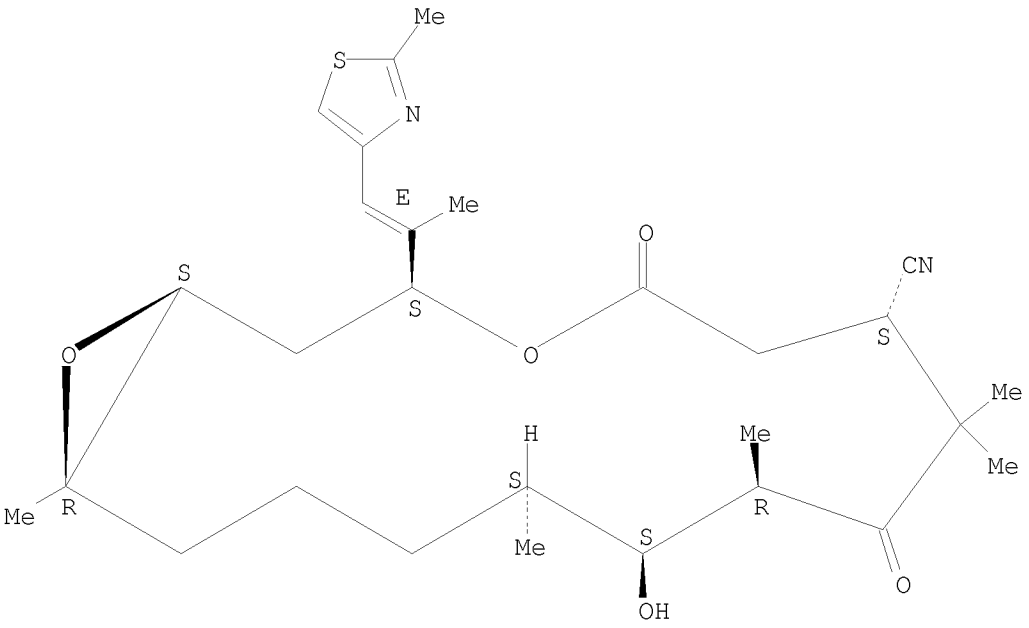

RN 476623-90-2 HCAPLUS
CN 4,17-Dioxabicyclo[14.1.0]heptadecane-7-carbonitrile, 11-hydroxy-8,8,10,12-tetramethyl-3-[(1E)-1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]-5,9-dioxo-, (1S,3S,7R,10R,11S,12S,16R)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



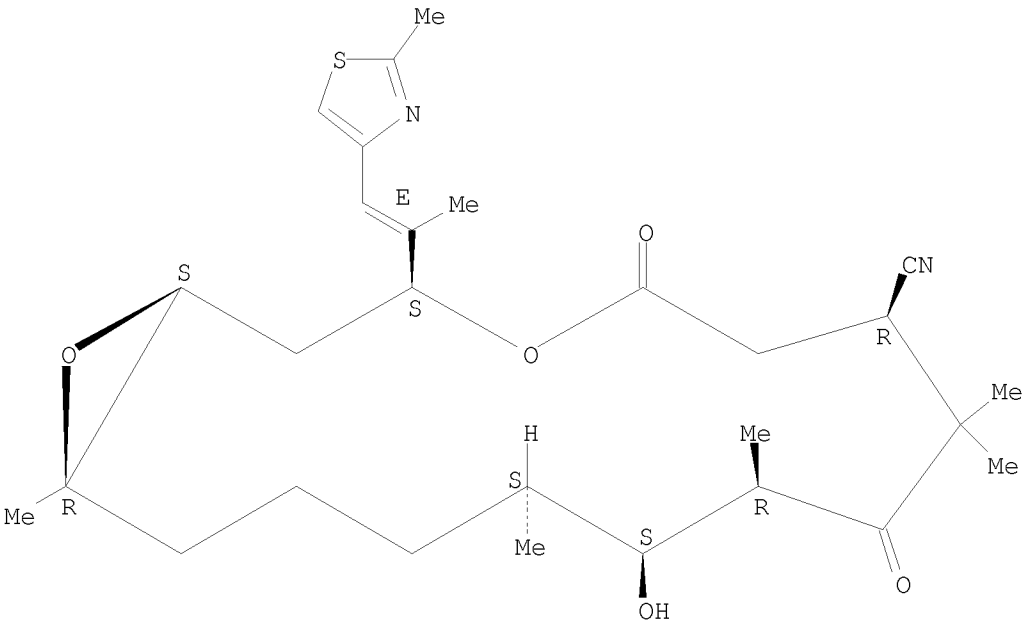

RN 476623-91-3 HCAPLUS
CN 4,17-Dioxabicyclo[14.1.0]heptadecane-7-carbonitrile, 11-hydroxy-8,8,10,12,16-pentamethyl-3-[(1E)-1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]-5,9-dioxo-, (1S,3S,7S,10R,11S,12S,16R)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.




RN 476623-92-4 HCAPLUS
CN 4,17-Dioxabicyclo[14.1.0]heptadecane-7-carbonitrile, 11-hydroxy-8,8,10,12,16-pentamethyl-3-[(1E)-1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]-5,9-dioxo-, (1S,3S,7R,10R,11S,12S,16R)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.




OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 44 OF 151 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2003:757513 HCAPLUS
DOCUMENT NUMBER: 139:276754
TITLE: Preparation of C12-cyano epothilone derivatives with antitumor activity
INVENTOR(S): Vite, Gregory D.; Regueiro-Ren, Alicia
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 47 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2003077903 | A1 | 20030925 | WO 2003-US7576 | 20030311 <-- |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

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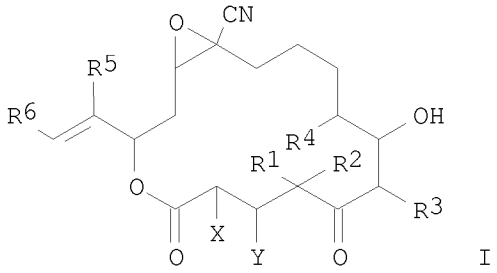
| AU 2003218107 | A1 | 20030929 | AU 2003-218107 | | 20030311 <-- |
| US 20030186965 | A1 | 20031002 | US 2003-386059 | | 20030311 <-- |
| US 7211593 | B2 | 20070501 | | | |
| PRIORITY APPLN. INFO.: | | | US 2002-363703P | P | 20020312 |
| | | | WO 2003-US7576 | W | 20030311 |

OTHER SOURCE(S): MARPAT 139:276754
GI

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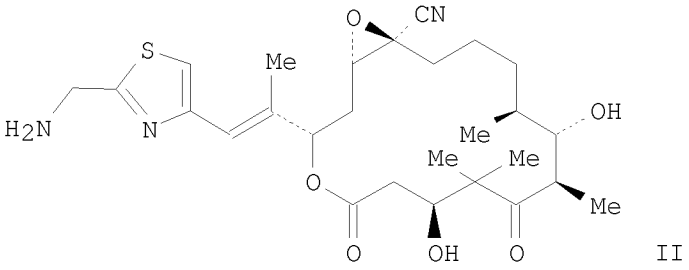



II

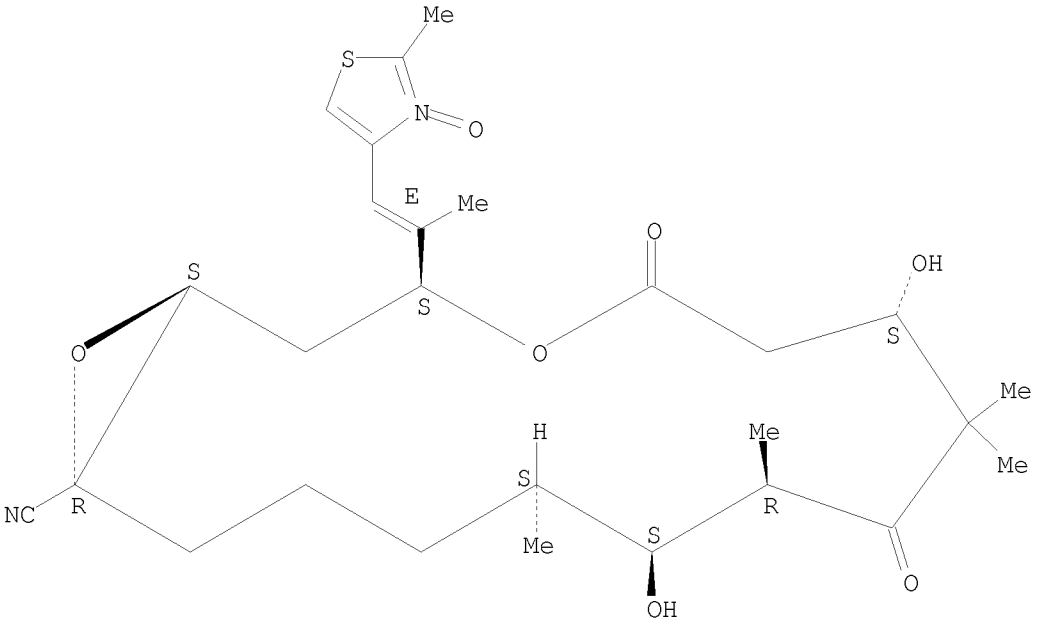
AB Epothilone derivs. of formula I [R1-R5 = H, alkyl; R6 = H, alkyl, aryl, cycloalkyl, heterocyclo; X = H; Y = OH; XY = bond] are prepared Also included are therapeutic compns. containing the compds. of formula I as active ingredients, alone or in combination with other therapeutic agents useful in the treatment of cancer or other proliferative diseases. Thus, II was prepared in several steps from epothilone A. The EC0.01 of the prepared compds. was 0.01 to 1000 μM in in vitro tubulin polymerization assay.

IT 604772-08-9P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of C12-cyano epothilone derivs. with antitumor activity)

RN 604772-08-9 HCAPLUS

CN 4,17-Dioxabicyclo[14.1.0]heptadecane-16-carbonitrile, 7,11-dihydroxy-8,8,10,12-tetramethyl-3-[(1E)-1-methyl-2-(2-methyl-3-oxido-4-thiazolyl)ethenyl]-5,9-dioxo-, (1S,3S,7S,10R,11S,12S,16R)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

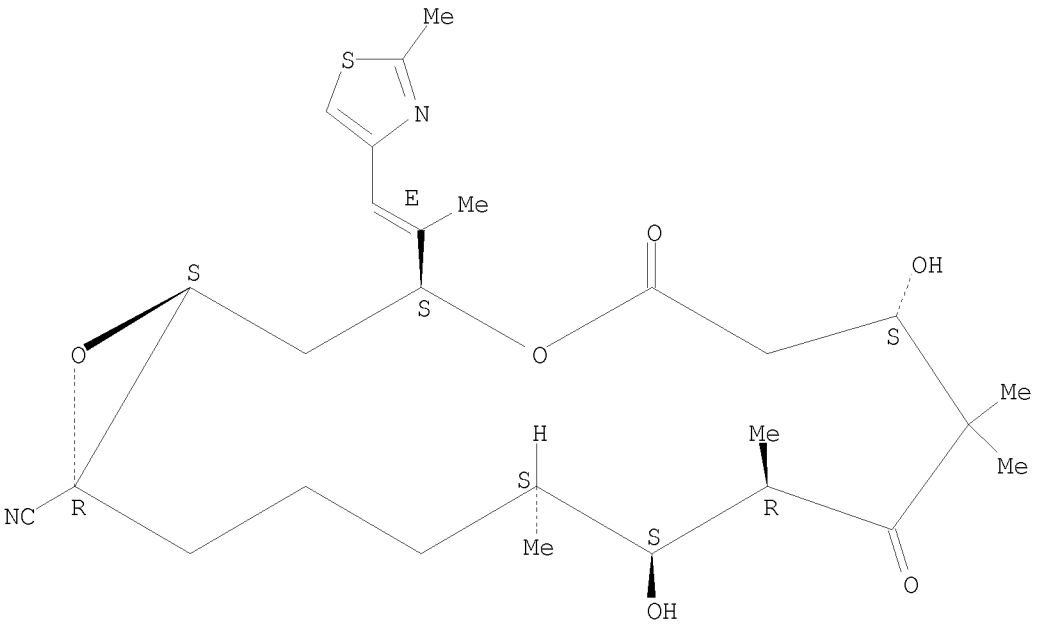



IT 476623-94-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of C12-cyano epothilone derivs. with antitumor activity)

RN 476623-94-6 HCAPLUS

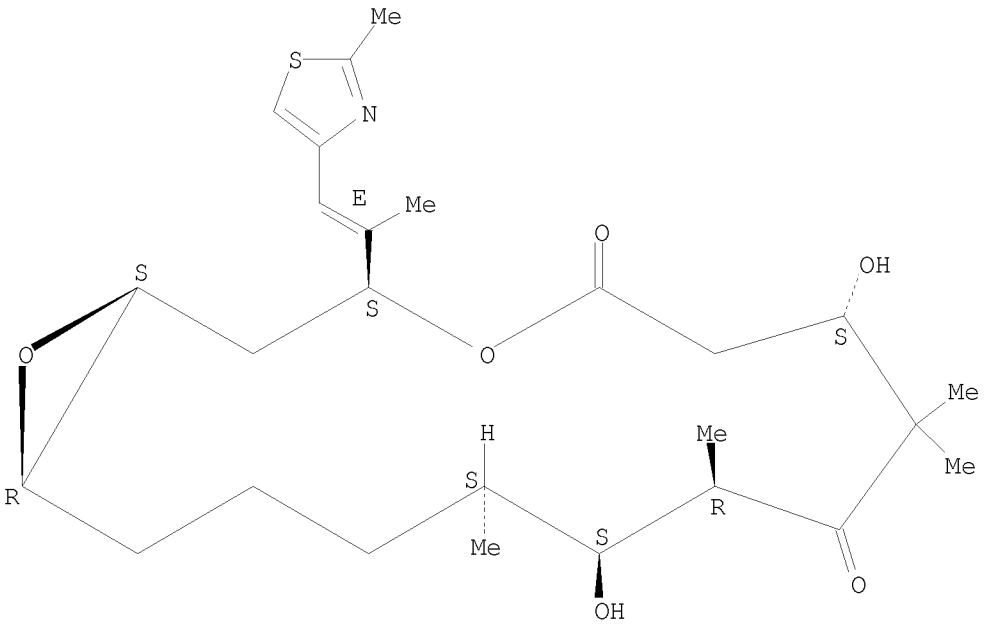
CN 4,17-Dioxabicyclo[14.1.0]heptadecane-16-carbonitrile, 7,11-dihydroxy-8,8,10,12-tetramethyl-3-[(1E)-1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]-5,9-dioxo-, (1S,3S,7S,10R,11S,12S,16R)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.




IT 152044-53-6, Epothilone A
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of C12-cyano epothilone derivs. with antitumor activity)
RN 152044-53-6 HCAPLUS
CN 4,17-Dioxabicyclo[14.1.0]heptadecane-5,9-dione, 7,11-dihydroxy-8,8,10,12-tetramethyl-3-[(1E)-1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]-, (1S,3S,7S,10R,11S,12S,16R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).
Double bond geometry as shown.




OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 45 OF 151 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2003:757486 HCAPLUS
DOCUMENT NUMBER: 139:277113
TITLE: Synthesis of atorvastatin and epothilone synthons via 2-deoxyribose-5-phosphate aldolase-catalyzed asymmetric aldol condensation of aldehydes
INVENTOR(S): Wong, Chi-huey; Liu, Junjie; De Santis, Grace; Burk, Mark
PATENT ASSIGNEE(S): The Scripps Research Institute, USA; Diversa Corporation
SOURCE: PCT Int. Appl., 63 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2003077868 | A2 | 20030925 | WO 2003-US7982 | 20030314 <-- |
| WO 2003077868 | A3 | 20040401 | | |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ,

UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

| | | | | | |
|---|---|---|---|---|---|
| CA 2479247 | A1 | 20030925 | CA 2003-2479247 | 20030314 | <-- |
| AU 2003225810 | A1 | 20030929 | AU 2003-225810 | 20030314 | <-- |
| US 20030232416 | A1 | 20031218 | US 2003-390544 | 20030314 | <-- |
| EP 1485498 | A2 | 20041215 | EP 2003-744689 | 20030314 | <-- |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | | | |
| JP 2005520510 | T | 20050714 | JP 2003-575922 | 20030314 | |
| US 20070015260 | A1 | 20070118 | US 2006-481653 | 20060705 | <-- |

PRIORITY APPLN. INFO.:

| | | |
|---|---|---|
| US 2002-364641P | P | 20020314 |
| US 2003-390544 | B3 | 20030314 |
| WO 2003-US7982 | W | 20030314 |

OTHER SOURCE(S): CASREACT 139:277113; MARPAT 139:277113

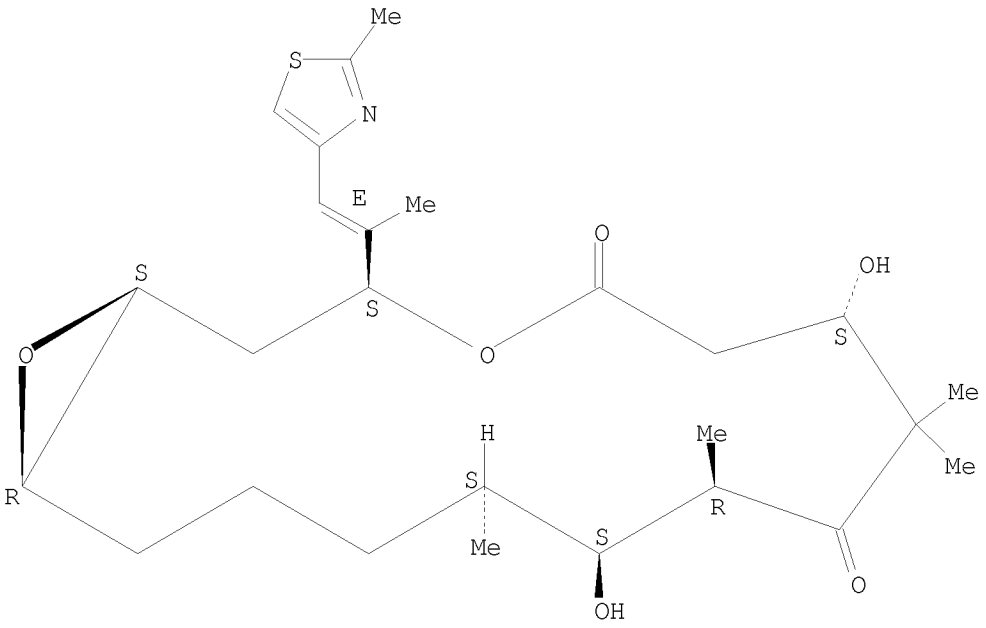
AB The present invention is based on the discovery that 2-deoxyribose-5-phosphate aldolase (DERA, EC 4.1.2.4) and variants thereof can be used to catalyze sequential asym. aldol reactions between a wide variety of donor and acceptor aldehydes. The reaction products typically contain at least two new stereogenic centers and can be produced in enantiomerically pure form. As such, DERA catalyzed asym. aldol chemical can be exploited to produce synthons for the synthesis of a variety of bioactive mols., e.g. epothilone A.

IT 152044-53-6P
RL: BPN (Biosynthetic preparation); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(synthesis of atorvastatin and epothilone synthons via 2-deoxyribose-5-phosphate aldolase-catalyzed asym. aldol condensation of aldehydes)

RN 152044-53-6 HCAPLUS

CN 4,17-Dioxabicyclo[14.1.0]heptadecane-5,9-dione, 7,11-dihydroxy-8,8,10,12-tetramethyl-3-[(1E)-1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]-, (1S,3S,7S,10R,11S,12S,16R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).
Double bond geometry as shown.




OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 46 OF 151 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2003:737763 HCAPLUS
DOCUMENT NUMBER: 139:261091
TITLE: Preparation of laulimalide and epothilone derivatives as microtubule stabilizing compounds
INVENTOR(S): Ghosh, Arun K.
PATENT ASSIGNEE(S): The Board of Trustees of the University of Illinois, USA
SOURCE: PCT Int. Appl., 118 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2003076445 | A2 | 20030918 | WO 2003-US6457 | 20030304 <-- |
| WO 2003076445 | A3 | 20040108 | | |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,

| | | | | | |
|---|---|---|---|---|---|
| | FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2478087 | | A1 | 20030918 | CA 2003-2478087 | 20030304 <-- |
| AU 2003216491 | | A1 | 20030922 | AU 2003-216491 | 20030304 <-- |
| EP 1483267 | | A2 | 20041208 | EP 2003-744154 | 20030304 <-- |
| R: | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | | |
| JP 2005521694 | | T | 20050721 | JP 2003-574661 | 20030304 |
| US 20030203929 | | A1 | 20031030 | US 2003-382261 | 20030305 <-- |
| US 7109235 | | B2 | 20060919 | | |
| MX 2004008630 | | A | 20041206 | MX 2004-8630 | 20040906 <-- |
| PRIORITY APPLN. INFO.: | | | | US 2002-362499P | P 20020307 |
| | | | | WO 2003-US6457 | W 20030304 |

OTHER SOURCE(S): MARPAT 139:261091
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

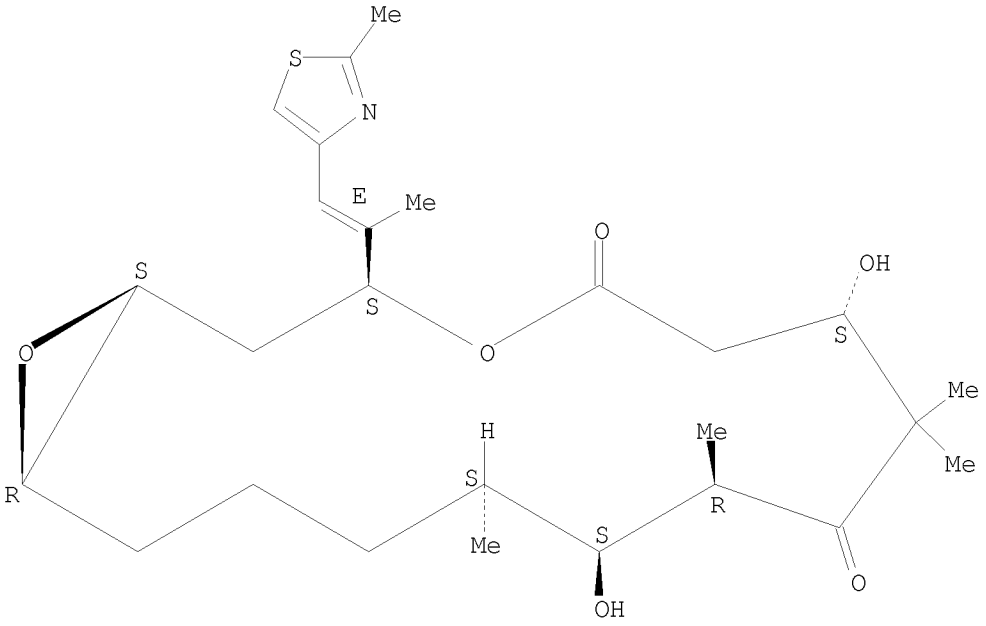
AB Laulimalide and epothilone derivs., e.g., I [R1 = H, ORa, C1-3-alkyl; R2 = C3-7-heterocyclolalkyl, C3-7-heterocyclolalkenyl, C3-7-cyclolalkyl, C3-7-cyclolalkenyl,C3-7-alkylene-ORa, ORa, C3-7-cyclolalkylene-N(Ra)2, N(Ra)2, aryl, heteroaryl; R3 = heteroaryl, aryl, C3-7-heterocyclolalkyl, C3-7-heterocyclolalkenyl; R4 = C1-4-alkyl, ORa, C3-7-cycloalkyl, C3-7-heterocyclolalkyl, aryl, heteroaryl; X, Y = CH2, O, NRa, S; Ra = H, C1-4-alkyl, C2-4-alkenyl, C2-4-alkynyl, heteroaryl, aryl; Z = (CH2)n; n = 0, 1], II, III, IV, V, VI and a pharmaceutically acceptable salt, solvate or prodrug thereof, useful as microtubule stabilizing agents, and in the treatment of cancers are disclosed. Methods of making the compds. and using the compds. as therapeutic agents in treating cancers also are disclosed. Thus, trans-desoxylaulimalide I [R1 = β-OH, R2 = R', R4 = Me, X = Y = O, Z = CH2] was prepared from (E)-R'CH:CHCH2CH[OH-(S)](CH2)2SO2Ph and {6-[(R)-Me3CSiMe2O(CH2)2]-3,6-dihydropyran-2R-yl}CH2CH[Me-(S)]CH2C(:CH2)CH2CH[OCH2OMe-(S)]CHOin 12 steps. Trans-desoxylaulimalide was tested for cytotoxicity [IC50 = 360 nM vs. human MCF-7 breast cancer cells].

IT 152044-53-6DP, Epothilone A, analogs.
152044-54-7DP, Epothilone B, analogs.
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of laulimalide and epothilone derivs. as microtubule stabilizing compds. with antitumor activity)

RN 152044-53-6 HCAPLUS

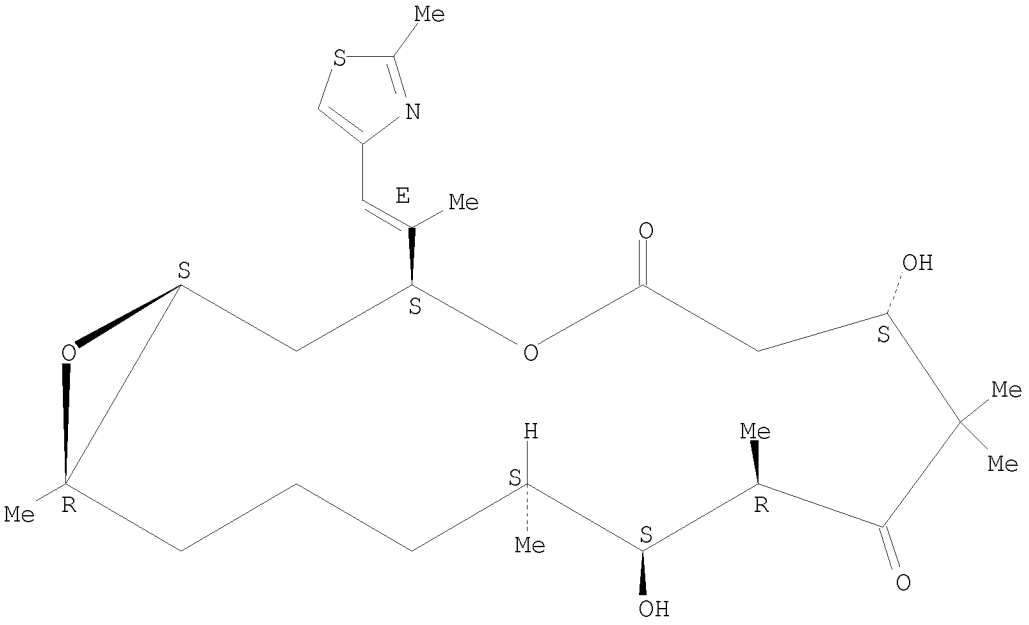
CN 4,17-Dioxabicyclo[14.1.0]heptadecane-5,9-dione, 7,11-dihydroxy-8,8,10,12-tetramethyl-3-[(1E)-1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]-, (1S,3S,7S,10R,11S,12S,16R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).
Double bond geometry as shown.




RN 152044-54-7 HCAPLUS
CN 4,17-Dioxabicyclo[14.1.0]heptadecane-5,9-dione, 7,11-dihydroxy-8,8,10,12,16-pentamethyl-3-[(1E)-1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]-, (1S,3S,7S,10R,11S,12S,16R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).
Double bond geometry as shown.



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 47 OF 151 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2003:719479 HCAPLUS
DOCUMENT NUMBER: 139:245815
TITLE: Preparation of derivatives of epothilones B and D for therapeutic use as antitumor agents
INVENTOR(S): Taylor, Richard E.; Chen, Yue
PATENT ASSIGNEE(S): University of Notre Dame, USA
SOURCE: PCT Int. Appl., 28 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2003074521 | A1 | 20030912 | WO 2003-US6113 | 20030228 <-- |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| AU 2003212457 | A1 | 20030916 | AU 2003-212457 | 20030228 <-- |
| US 20030176473 | A1 | 20030918 | US 2003-375100 | 20030228 <-- |
| US 6900331 | B2 | 20050531 | | |

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| PRIORITY APPLN. INFO.: | | US 2002-360853P | P | 20020301 |
| | | WO 2003-US6113 | W | 20030228 |

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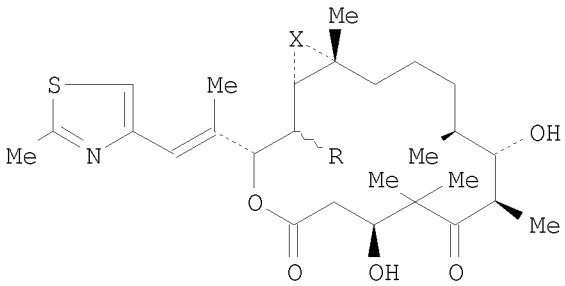



I

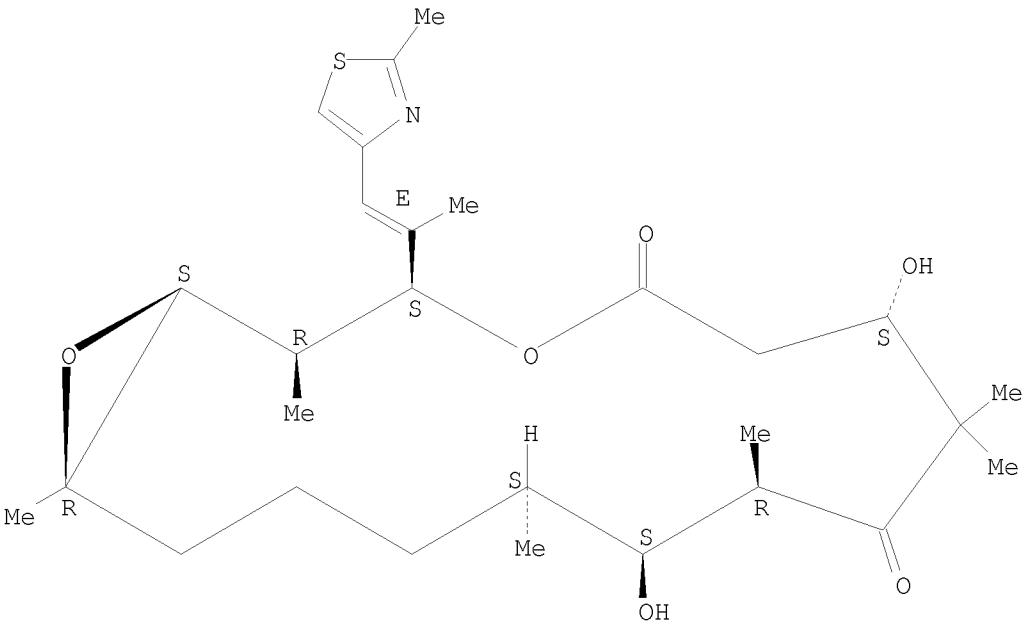
AB (14R)- and (14S)-14-methylepothilone B I (X = O, R = α-Me, β-Me, resp.), (14S)-, and (14R)-14-methylepothilone D I (X = Z-bond, R = α-Me, β-Me, resp.) were synthesized for use in pharmaceutical compns. for treatment of cancer. The prepared

epothilones were assayed for cytotoxicity against cancer cell lines, such as human breast carcinoma MCF-7, multi-drug resistant breast carcinoma NCI/ADR, non-small cell lung carcinoma NCI-H460 and glioma SF-268.

IT 491611-01-9P, (14R)-14-Methylepothilone B 491611-02-0P, (14S)-C14-Methylepothilone B
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of derivs. of epothilones B and D for therapeutic use as anticancer agents)

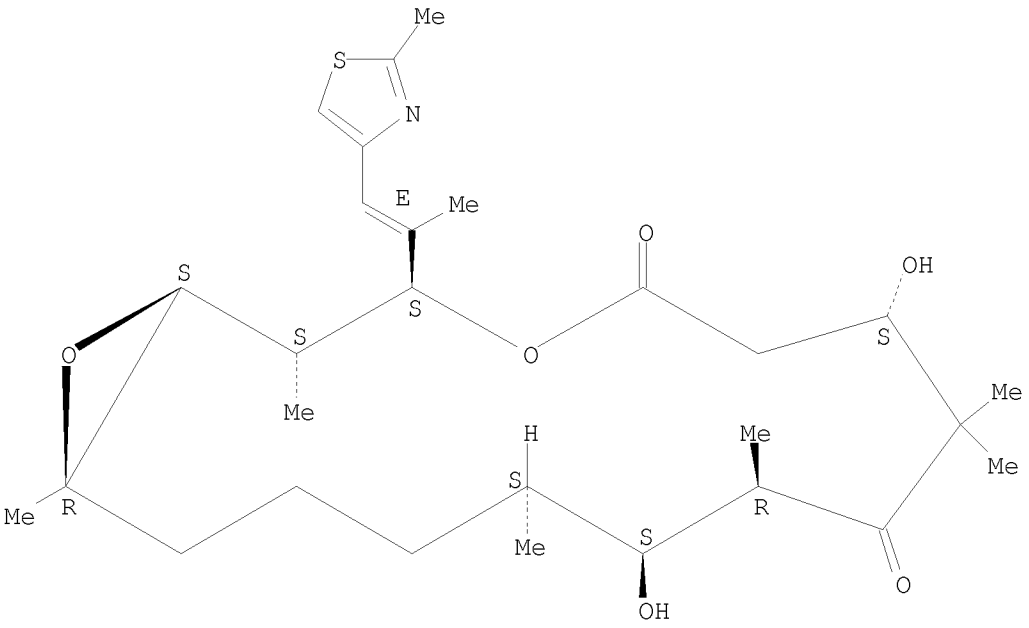
RN 491611-01-9 HCAPLUS
CN 4,17-Dioxabicyclo[14.1.0]heptadecane-5,9-dione, 7,11-dihydroxy-2,8,8,10,12,16-hexamethyl-3-[(1E)-1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]-, (1S,2R,3S,7S,10R,11S,12S,16R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).
Double bond geometry as shown.




RN 491611-02-0 HCAPLUS
CN 4,17-Dioxabicyclo[14.1.0]heptadecane-5,9-dione, 7,11-dihydroxy-2,8,8,10,12,16-hexamethyl-3-[(1E)-1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]-, (1S,2S,3S,7S,10R,11S,12S,16R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.




REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 48 OF 151 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2003:697017 HCAPLUS
DOCUMENT NUMBER: 139:229340
TITLE: An oxygen-limited cultivation method for producing polyketides by myxobacteria with polyketide congener distribution modulation
INVENTOR(S): Licari, Peter J.; Julien, Bryan; Frykman, Scott; Tsuruta, Hiroko
PATENT ASSIGNEE(S): Kosan Biosciences, Inc., USA
SOURCE: PCT Int. Appl., 36 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2003072730 | A2 | 20030904 | WO 2003-US5487 | 20030225 <-- |
| WO 2003072730 | A3 | 20040603 | | |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF,

BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

| | | | | |
|---|---|---|---|---|
| AU 2003223190 | A1 | 20030909 | AU 2003-223190 | 20030225 <-- |
| US 20040014183 | A1 | 20040122 | US 2003-376612 | 20030225 <-- |
| US 7220560 | B2 | 20070522 | | |
| EP 1485462 | A2 | 20041215 | EP 2003-719319 | 20030225 <-- |
| EP 1485462 | B1 | 20071212 | | |

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK

| | | | | |
|---|---|---|---|---|
| JP 2005518210 | T | 20050623 | JP 2003-571418 | 20030225 |
| JP 4280810 | B2 | 20090617 | | |
| CN 1639319 | A | 20050713 | CN 2003-804528 | 20030225 |
| AT 380861 | T | 20071215 | AT 2003-719319 | 20030225 |
| NZ 535515 | A | 20071221 | NZ 2003-535515 | 20030225 |
| ES 2295574 | T3 | 20080416 | ES 2003-719319 | 20030225 |
| IN 2004KN01185 | A | 20060512 | IN 2004-KN1185 | 20040816 |
| PRIORITY APPLN. INFO.: | | | US 2002-359821P | P 20020225 |
| | | | US 2000-724878 | A2 20001128 |
| | | | WO 2003-US5487 | W 20030225 |

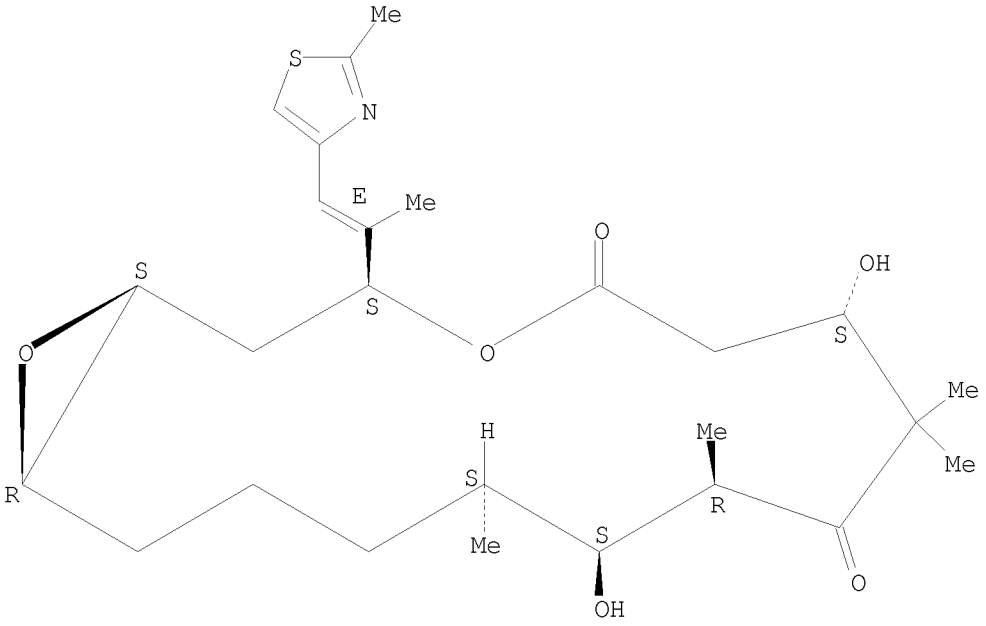
AB The present invention provides a generalized oxygen-limited cultivation method for myxobacterial strains engineered to heterologously express polyketide synthase (PKS) gene clusters under various oxygen tension conditions, modulating the polyketide congener distribution.

IT 152044-53-6P, Epothilone A 152044-54-7P, Epothilone B
RL: BMF (Bioindustrial manufacture); BPN (Biosynthetic preparation); BIOL (Biological study); PREP (Preparation)
(oxygen-limited cultivation method for producing polyketides by recombinant myxobacteria with polyketide congener distribution modulation)

RN 152044-53-6 HCAPLUS

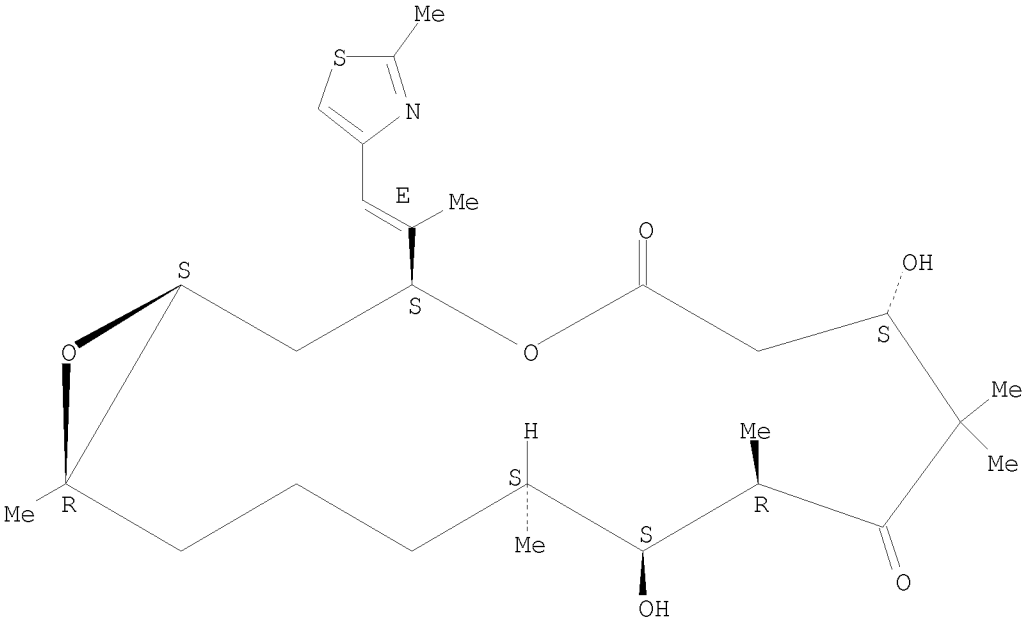
CN 4,17-Dioxabicyclo[14.1.0]heptadecane-5,9-dione, 7,11-dihydroxy-8,8,10,12-tetramethyl-3-[(1E)-1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]-, (1S,3S,7S,10R,11S,12S,16R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).
Double bond geometry as shown.




RN 152044-54-7 HCAPLUS
CN 4,17-Dioxabicyclo[14.1.0]heptadecane-5,9-dione, 7,11-dihydroxy-8,8,10,12,16-pentamethyl-3-[(1E)-1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]-, (1S,3S,7S,10R,11S,12S,16R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).
Double bond geometry as shown.



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L8 ANSWER 49 OF 151 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2003:693140 HCAPLUS
DOCUMENT NUMBER: 139:191465
TITLE: Use of epothilones in the treatment of brain diseases associated with proliferative processes
INVENTOR(S): Lichtner, Rosemarie; Rotgeri, Andrea; Buchmann, Bernd; Hoffmann, Karin; Klar, Ulrich; Schwede, Wolfgang; Skuballa, Werner
PATENT ASSIGNEE(S): Schering Aktiengesellschaft, Germany
SOURCE: Eur. Pat. Appl., 27 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| EP 1340498 | A1 | 20030903 | EP 2002-4745 | 20020301 <-- |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| CA 2477403 | A1 | 20030912 | CA 2003-2477403 | 20030228 <-- |
| WO 2003074053 | A1 | 20030912 | WO 2003-EP2085 | 20030228 <-- |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| AU 2003215618 | A1 | 20030916 | AU 2003-215618 | 20030228 <-- |
| AU 2003215618 | B2 | 20090604 | | |
| US 20040019088 | A1 | 20040129 | US 2003-375043 | 20030228 <-- |
| EP 1480643 | A1 | 20041201 | EP 2003-743360 | 20030228 <-- |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | | |
| BR 2003008154 | A | 20050104 | BR 2003-8154 | 20030228 |
| CN 1649587 | A | 20050803 | CN 2003-809761 | 20030228 |
| JP 2005525360 | T | 20050825 | JP 2003-572570 | 20030228 |
| NZ 546617 | A | 20071221 | NZ 2003-546617 | 20030228 |
| RU 2351330 | C2 | 20090410 | RU 2004-129325 | 20030228 |
| MX 2004008450 | A | 20050713 | MX 2004-8450 | 20040901 |
| NO 2004004175 | A | 20041201 | NO 2004-4175 | 20040930 <-- |
| ZA 2004007905 | A | 20060426 | ZA 2004-7905 | 20040930 |

| PRIORITY APPLN. INFO.: | | |
|---|---|---|
| EP 2002-4745 | A | 20020301 |
| US 2002-361062P | P | 20020301 |
| WO 2003-EP2085 | W | 20030228 |

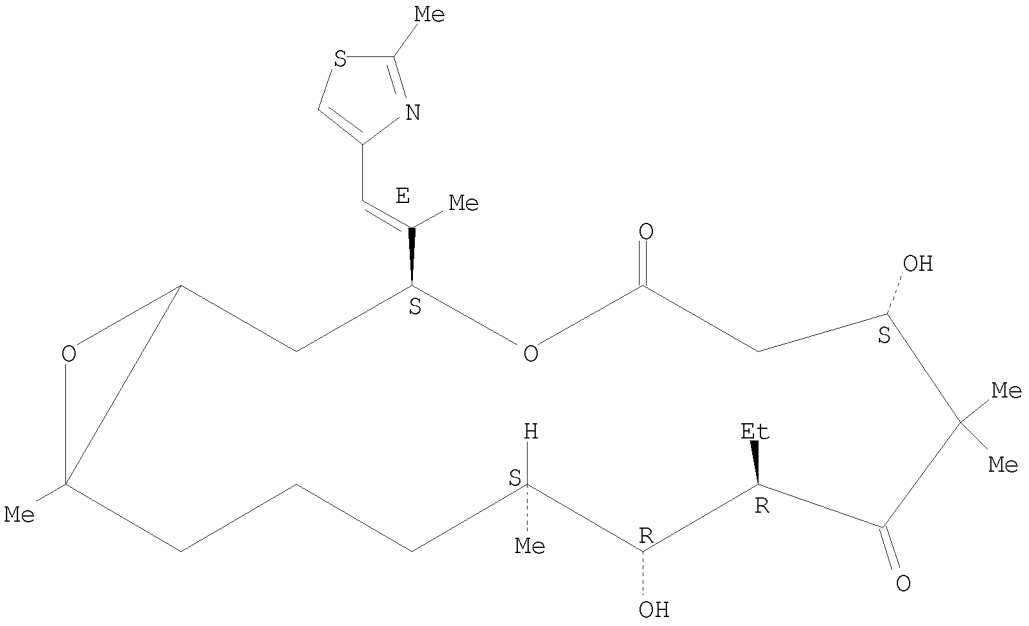
OTHER SOURCE(S): MARPAT 139:191465
AB The invention provides the use of an epothilone, which shows an average distribution coefficient between plasma and brain of 0.3-1.5 in the mouse

i.v. bolus injection assay, for the preparation of a medicament for the treatment of a brain disease associated with proliferative processes.

IT 585569-58-0 585569-62-6
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(epothilones for treatment of brain diseases associated with proliferative processes)

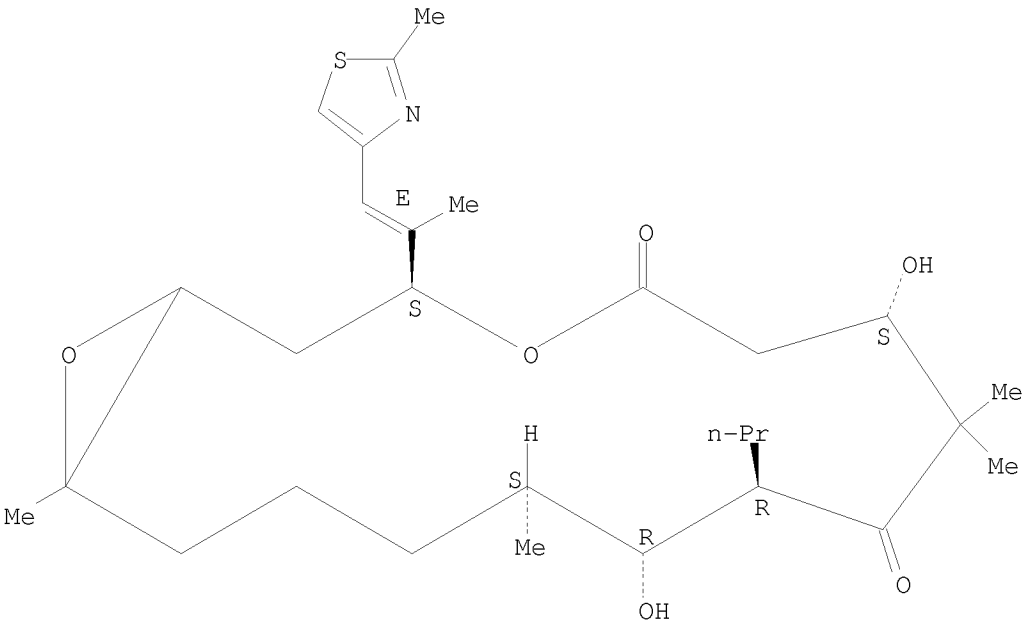
RN 585569-58-0 HCAPLUS
CN 4,17-Dioxabicyclo[14.1.0]heptadecane-5,9-dione, 10-ethyl-7,11-dihydroxy-8,8,12,16-tetramethyl-3-[(1E)-1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]-, (3S,7S,10R,11R,12S)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.




RN 585569-62-6 HCAPLUS
CN 4,17-Dioxabicyclo[14.1.0]heptadecane-5,9-dione, 7,11-dihydroxy-8,8,12,16-tetramethyl-3-[(1E)-1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]-10-propyl-, (3S,7S,10R,11R,12S)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.




REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 50 OF 151 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2003:678602 HCAPLUS
DOCUMENT NUMBER: 139:197297
TITLE: Process for the preparation of 21-amino epothilone derivatives
INVENTOR(S): Favreau, Denis; Kant, Joydeep; Levesque, Kathia; Wang, Shaopeng; Guo, Zhengrong; James, Brian L.
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 35 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

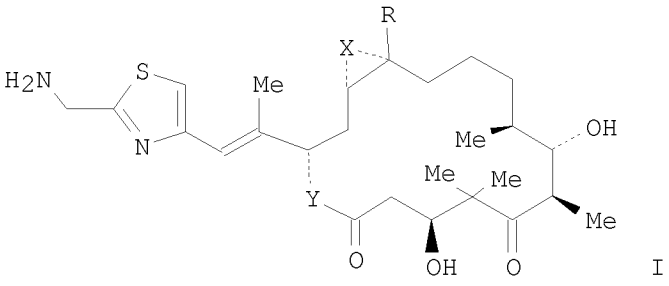
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2003070170 | A2 | 20030828 | WO 2003-US4426 | 20030213 <-- |
| WO 2003070170 | A3 | 20040708 | | |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

| | | | | | |
|---|---|---|---|---|---|
| AU 2003211047 | A1 | 20030909 | AU 2003-211047 | | 20030213 <-- |
| US 20030187039 | A1 | 20031002 | US 2003-365892 | | 20030213 <-- |
| US 6930187 | B2 | 20050816 | | | |
| PRIORITY APPLN. INFO.: | | | US 2002-357554P | P | 20020215 |
| | | | WO 2003-US4426 | W | 20030213 |

OTHER SOURCE(S): CASREACT 139:197297; MARPAT 139:197297


GI




I

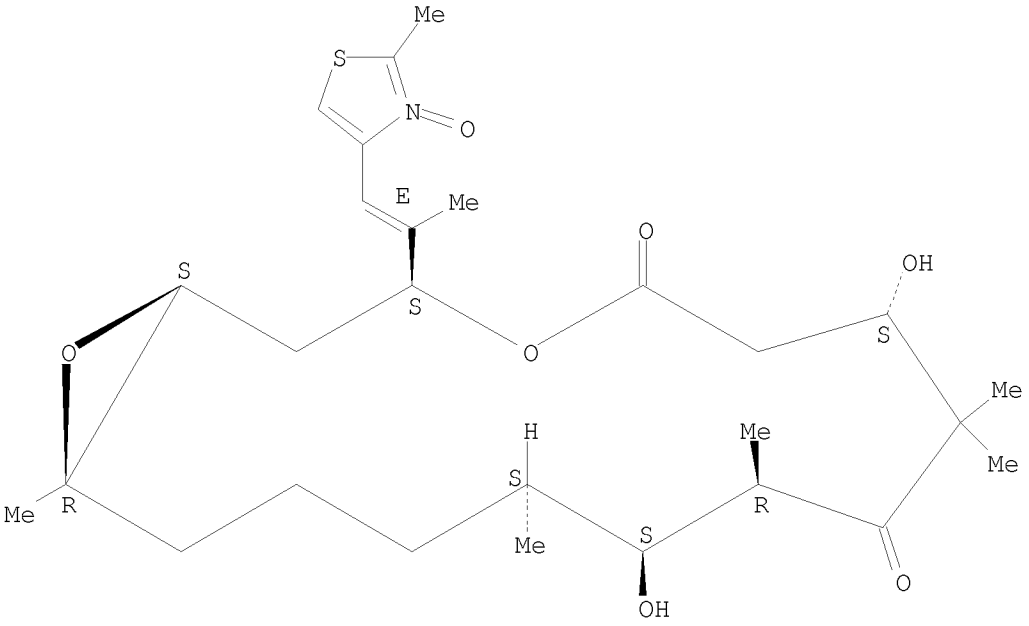

AB The present invention provides an improved one-pot conversion process for the synthesis of 21-amino epothilone derivs., such as I [R = H, alkyl; X = bond, O, S, CH2, NR1; Y = O, NH; R1 = H, alkyl, aryl, COR2, CO2R2, CONHR2, CONR2R3, SO2R3, SO2NHR2, SO2NR2R3; R2,R3 = alkyl, aryl, arylalkyl, heteroaryl; R2R3 = N, heterocycle], from 21-hydroxy epothilones. Thus, mCPBA oxidation of epothilone B provided epothilone B N-oxide, which on treatment with trifluoroacetic anhydride, lutidine and subsequently with ammonium hydroxide, afforded epothilone F (II). II was reacted with diphenylphosphoryl azide to yield 21-azido epothilone B (III), which on reaction with trimethylphosphine and ammonium hydroxide yielded 21-amino epothilone B I (R = Me; X, Y = O).


IT 219990-27-9P, Epothilone B N-oxide
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 21-amino epothilone derivs.)

RN 219990-27-9 HCAPLUS

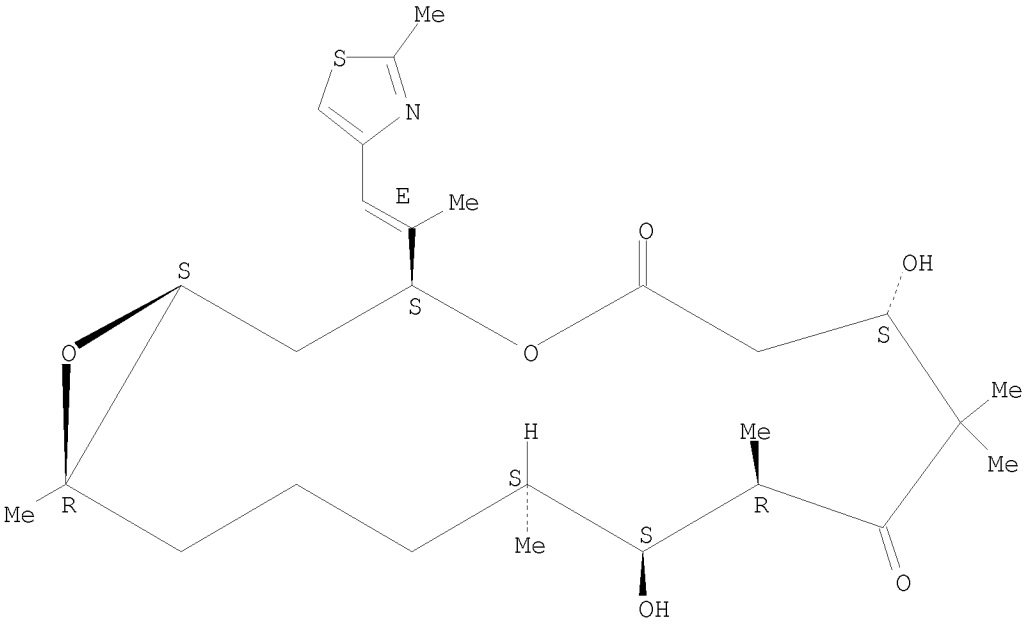
CN 4,17-Dioxabicyclo[14.1.0]heptadecane-5,9-dione, 7,11-dihydroxy-8,8,10,12,16-pentamethyl-3-[(1E)-1-methyl-2-(2-methyl-3-oxido-4-thiazolyl)ethenyl]-, (1S,3S,7S,10R,11S,12S,16R)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.




IT 152044-54-7, Epothilone B
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of 21-amino epothilone derivs.)
RN 152044-54-7 HCAPLUS
CN 4,17-Dioxabicyclo[14.1.0]heptadecane-5,9-dione, 7,11-dihydroxy-8,8,10,12,16-pentamethyl-3-[(1E)-1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]-, (1S,3S,7S,10R,11S,12S,16R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).
Double bond geometry as shown.




OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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| COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION |
|---|---|---|
| FULL ESTIMATED COST | 146.94 | 333.04 |

| DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | SINCE FILE ENTRY | TOTAL SESSION |
|---|---|---|
| CA SUBSCRIBER PRICE | -17.22 | -17.22 |

STN INTERNATIONAL LOGOFF AT 15:34:19 ON 07 AUG 2009